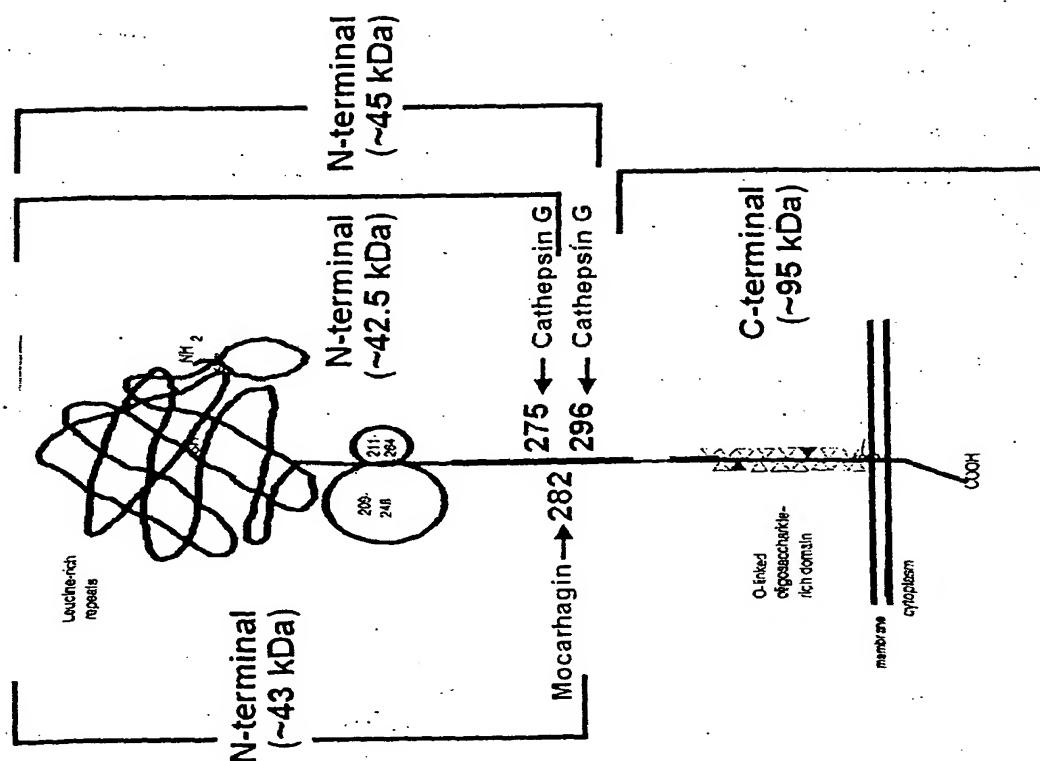


**Cleavage sites of endoproteases  
on the  $\alpha$ -chain of GPIb**



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**FIG. 1**

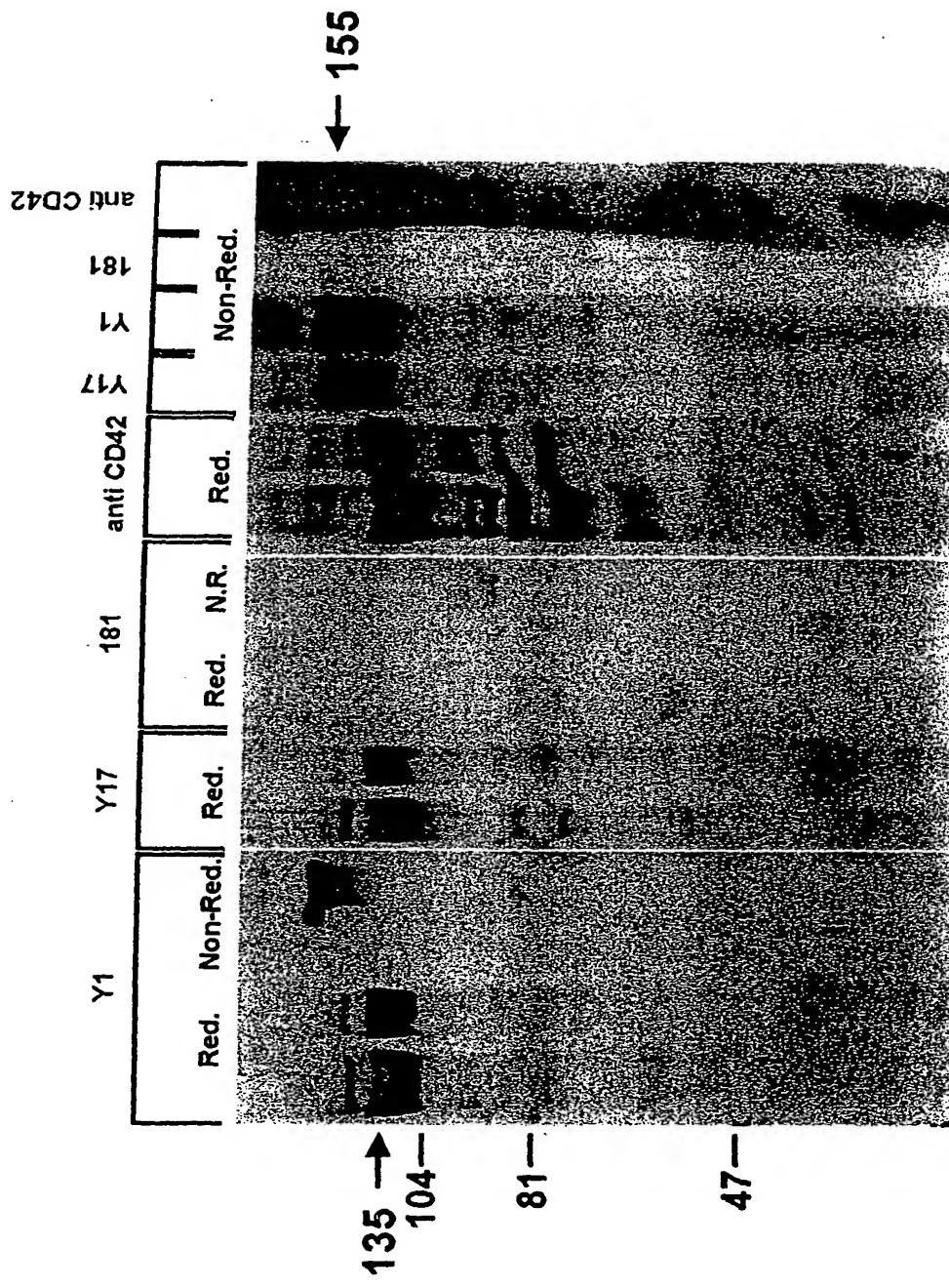




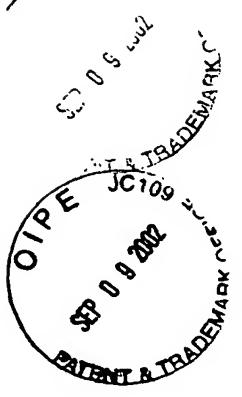
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## Binding of Y1 and Y17 to platelets in reduced and non-reduced conditions

FIG. 2



Characterization of Optimal Determinants  
for Binding of Y1 to It's Ligands



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FIG. 3

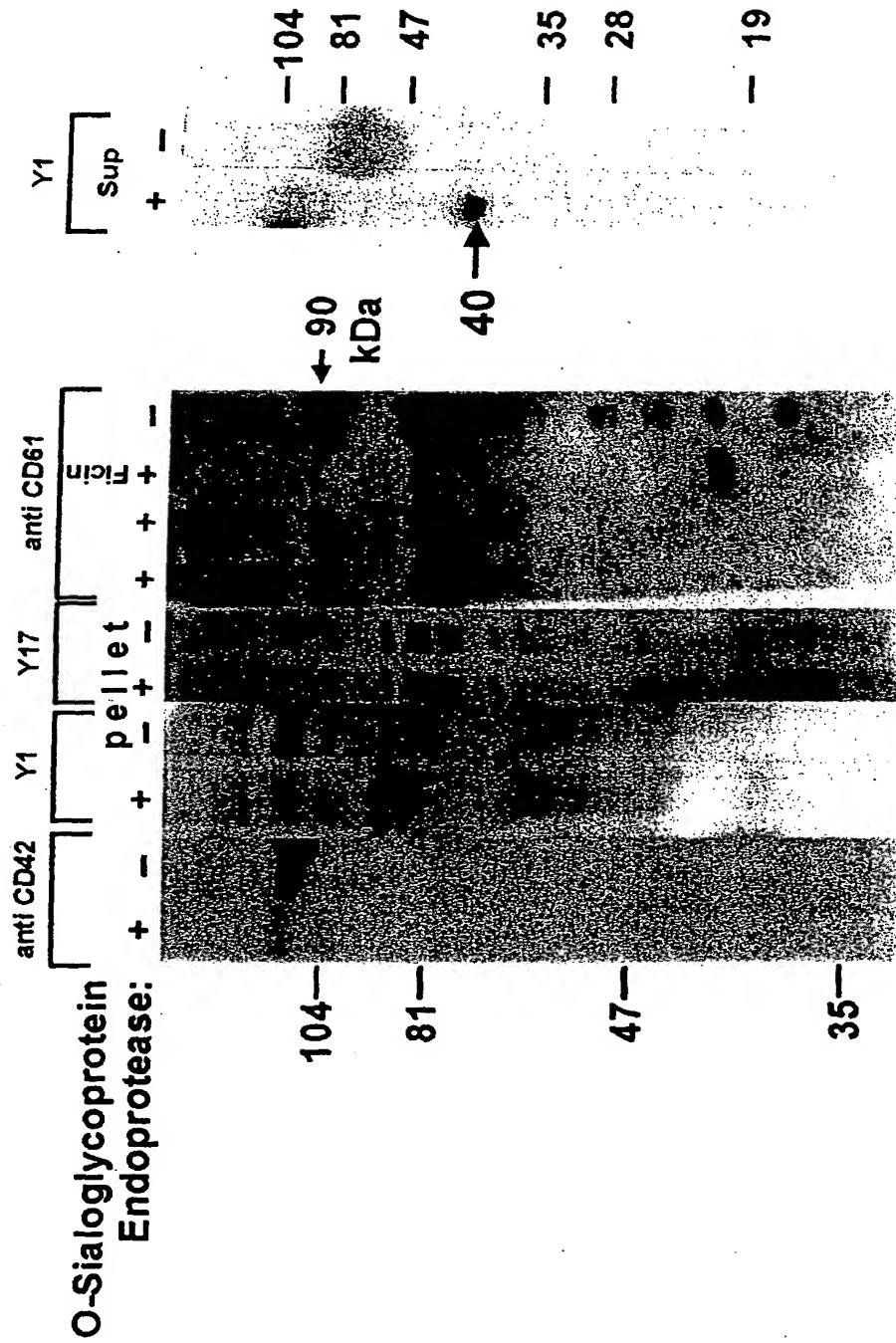
	Platelets/GC	KG1/RP-HPLC #4
Rec: GP1b 1-340	-	
GP1b 1-480	-	
Glycanase: N	+	+++
N+O	+	+++
Proteases: Mocarhagin	++ (~40kD)	-
O-Sialo Peptidase	++ (~40kD)	-
Ficin	-	-
Trypsine	++ (~40kD)	-
Elastase	++ (~40kD)	++
Sulfatase (Aryl)		-/+



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FIG. 4

**Cleavage of platelets GPIb by O-Sialoglycoprotein  
abolishes binding of both  $\gamma_1$  and  $\gamma_1$**

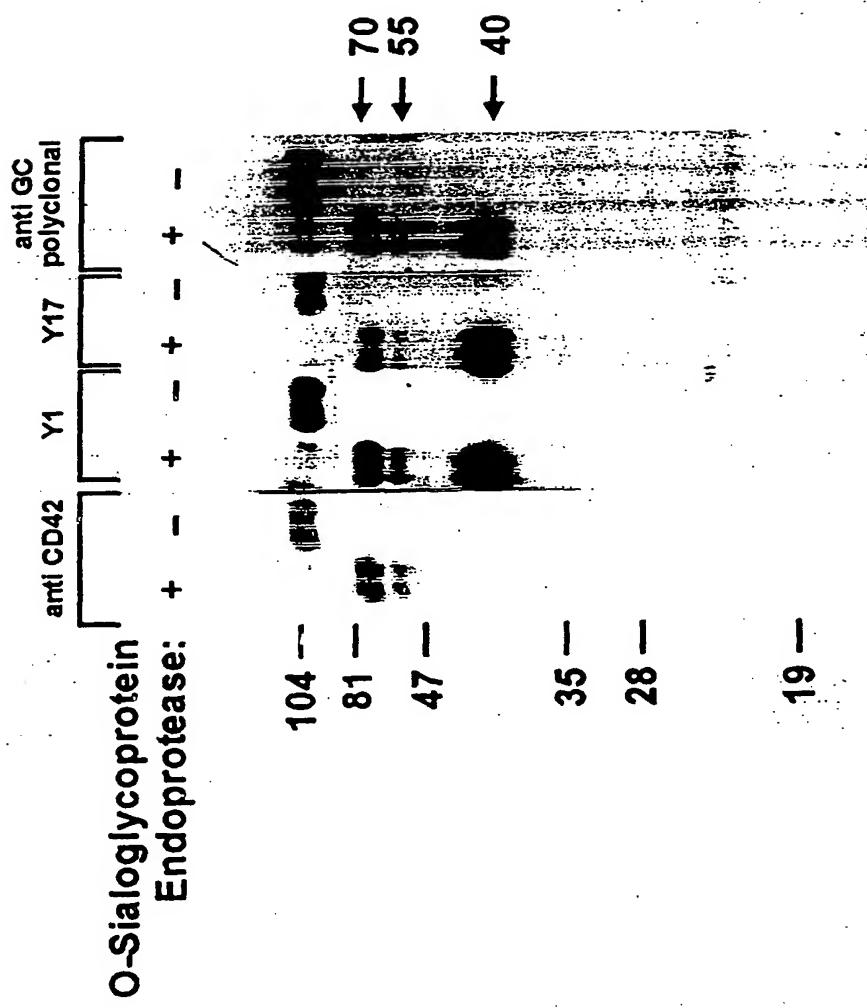




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**FIG. 5**

Y1 and Y17 binds similar gly cocalycin fragments after cleavage by O-Sialoglycoprotein Endoprotease

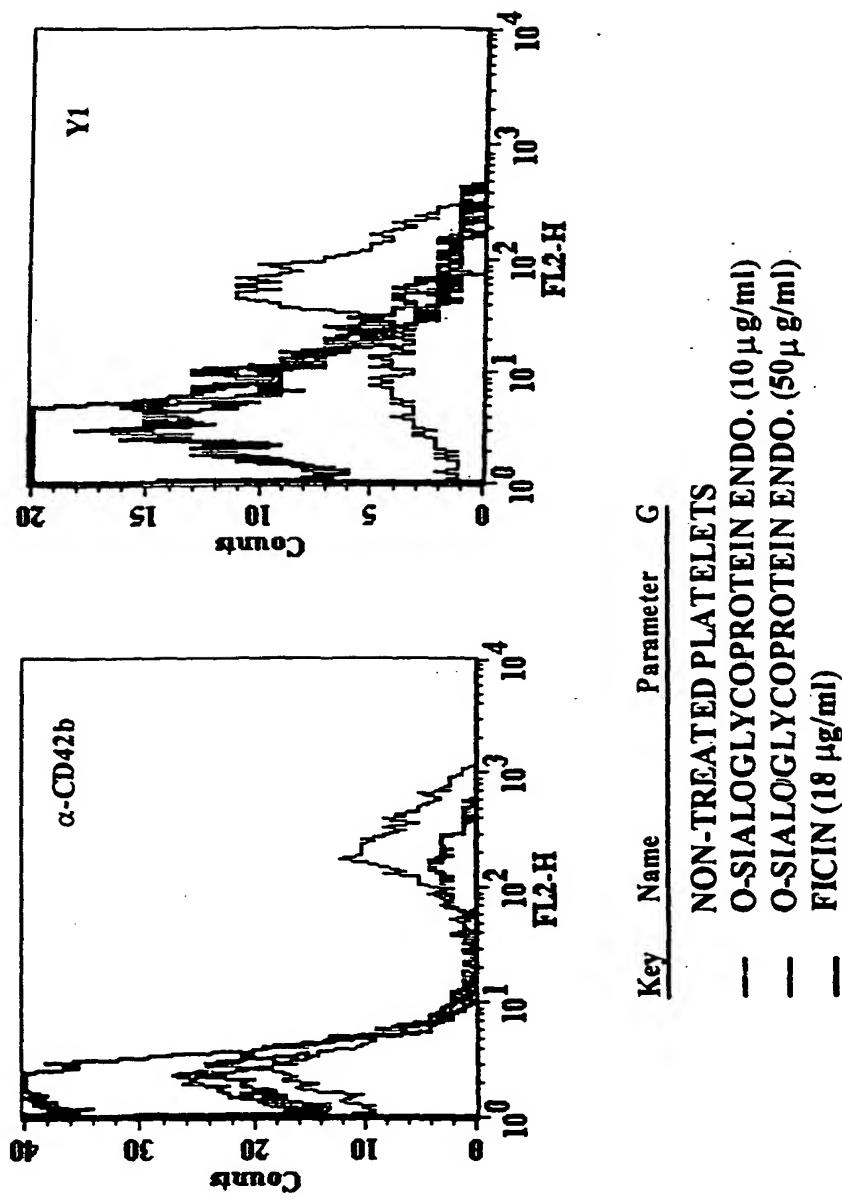




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## Specific GPIIb Proteolysis Abolishes Y1 Binding to Platelets

FIG. 6



Y1 binds N-terminal platelet GPIb after cleavage by muncarhagin

Western blot analysis showing the detection of molarhagin fragments using anti-CD42 and anti-CD42 N-terminal antibodies. The lanes are grouped by antibody and further divided by the presence of a reducing agent (CG, + or -). Molecular weight markers are indicated on the left.

molarhagin:	anti CD42			anti CD42 N-terminal		
	CG -	CG +	Y1	CG -	CG +	CG +
104 —	Weak band	Strong band	Strong band	Strong band	Strong band	Strong band
81 —	Strong band	Strong band	Strong band	Strong band	Strong band	Strong band
47 —	Strong band	Strong band	Strong band	Strong band	Strong band	Strong band
35 —	Weak band	Weak band	Weak band	Weak band	Weak band	Weak band
28 —	Weak band	Weak band	Weak band	Weak band	Weak band	Weak band

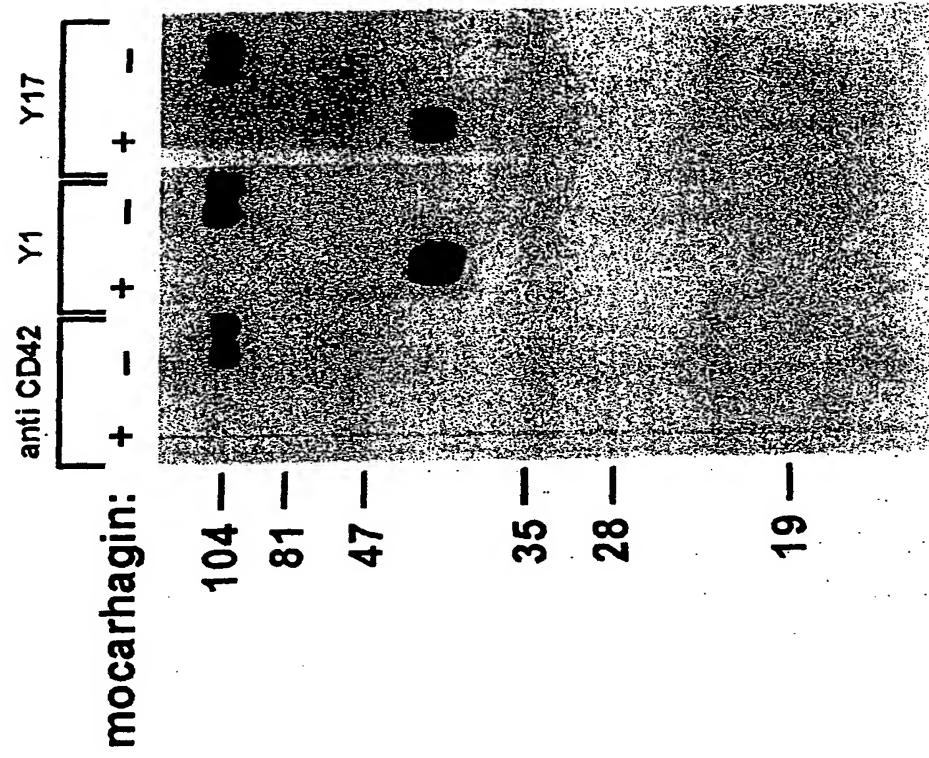
Arrow indicates the position of the ~40 kDa fragment.



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*FIG. 8*

Binding of Y1 and Y17 to glycocalyxin after  
cleavage by mcarhagin

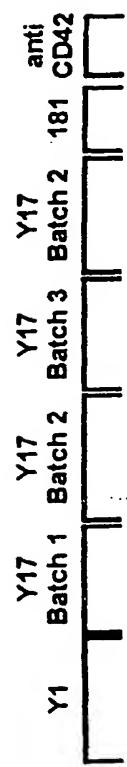




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**FIG. 9**

Binding of Y1 and Y17 to platelets



104—

81—

47—

35—

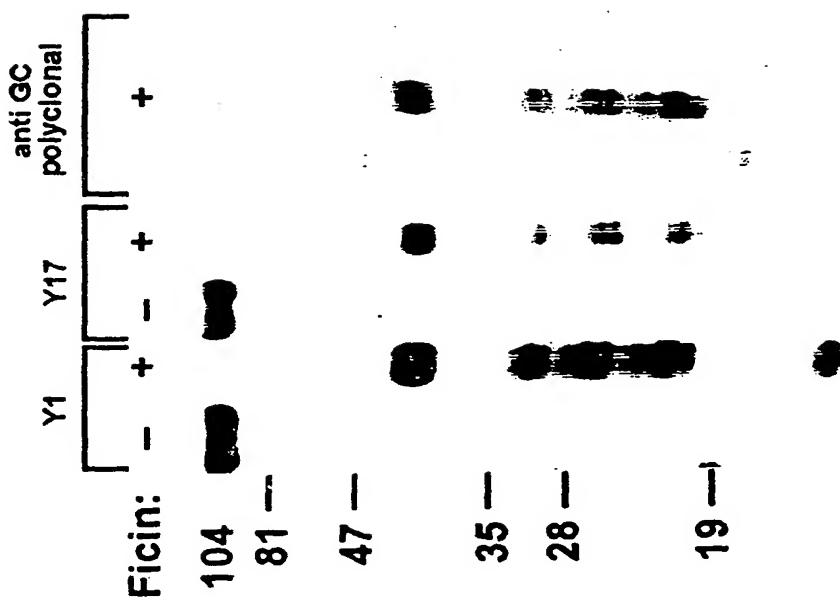
28—



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## FIG. 10

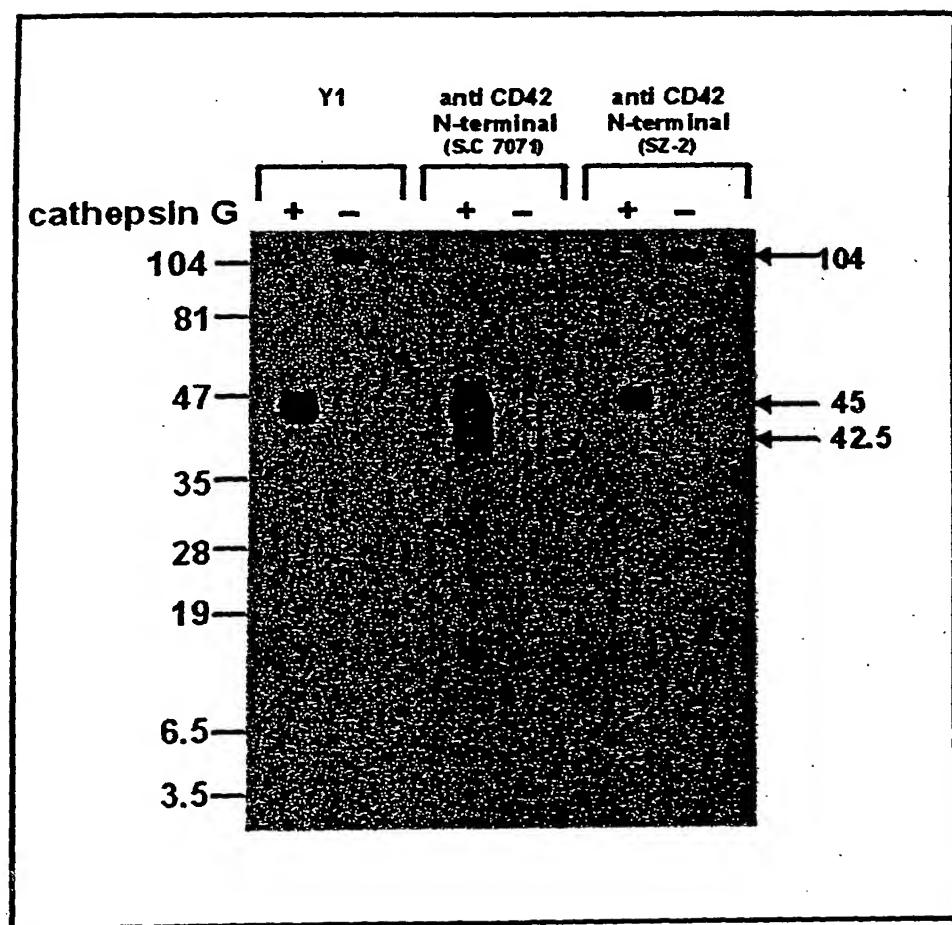
$\gamma_1$  and  $\gamma_{17}$  bind glycocalyxin similar after cleavage by Ficin



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**FIG. 11**

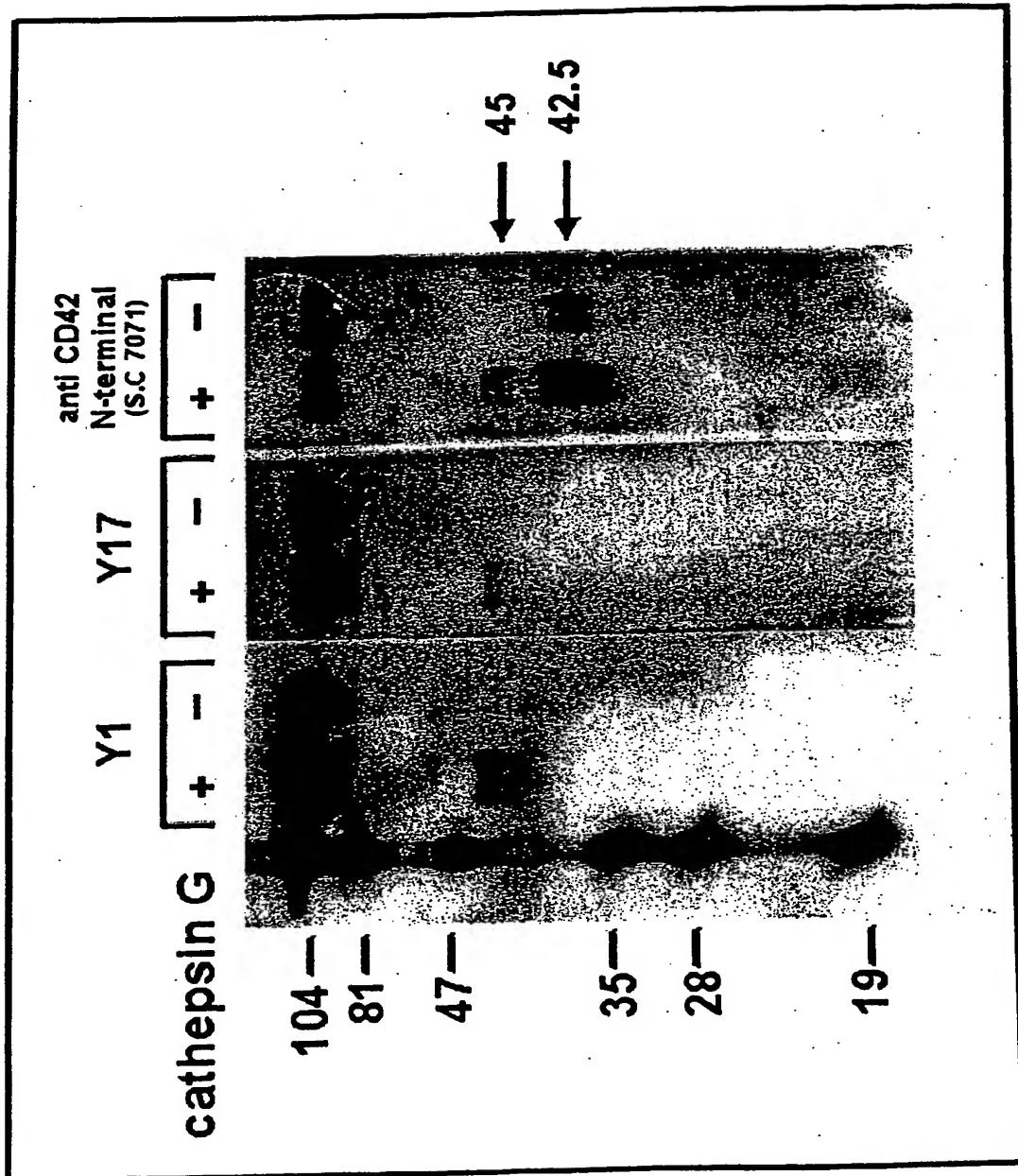




Y1 and Y17 reacts with larger cathepsin G cleaved platelets GPIb fragment

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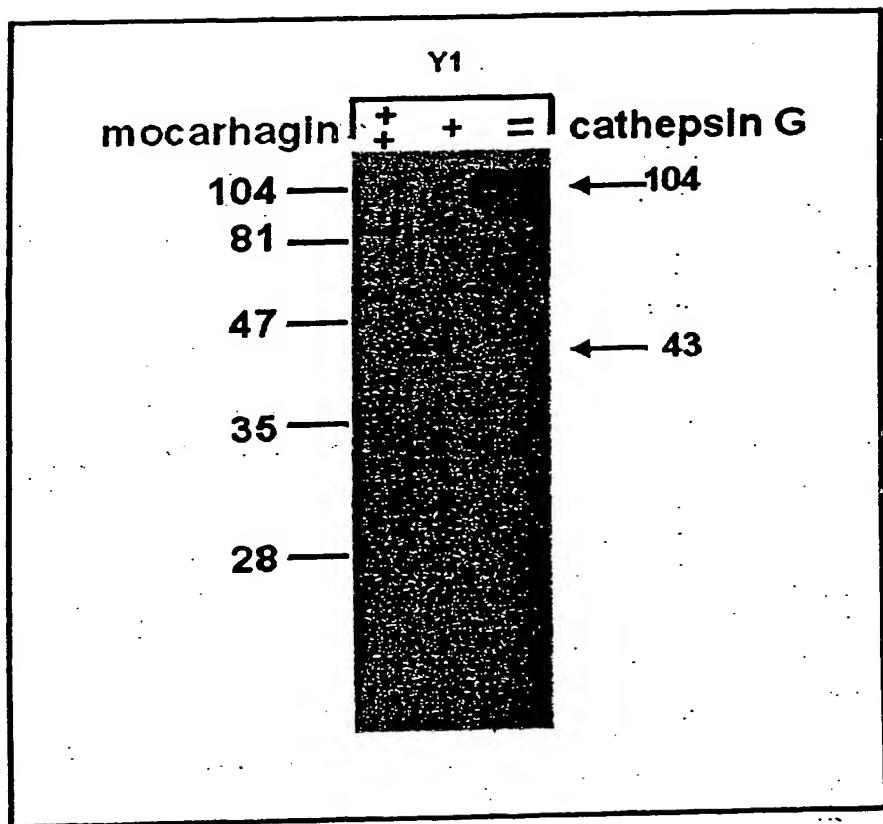
FIG. 12

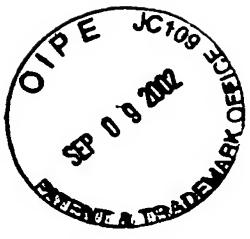




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**FIG. 13**

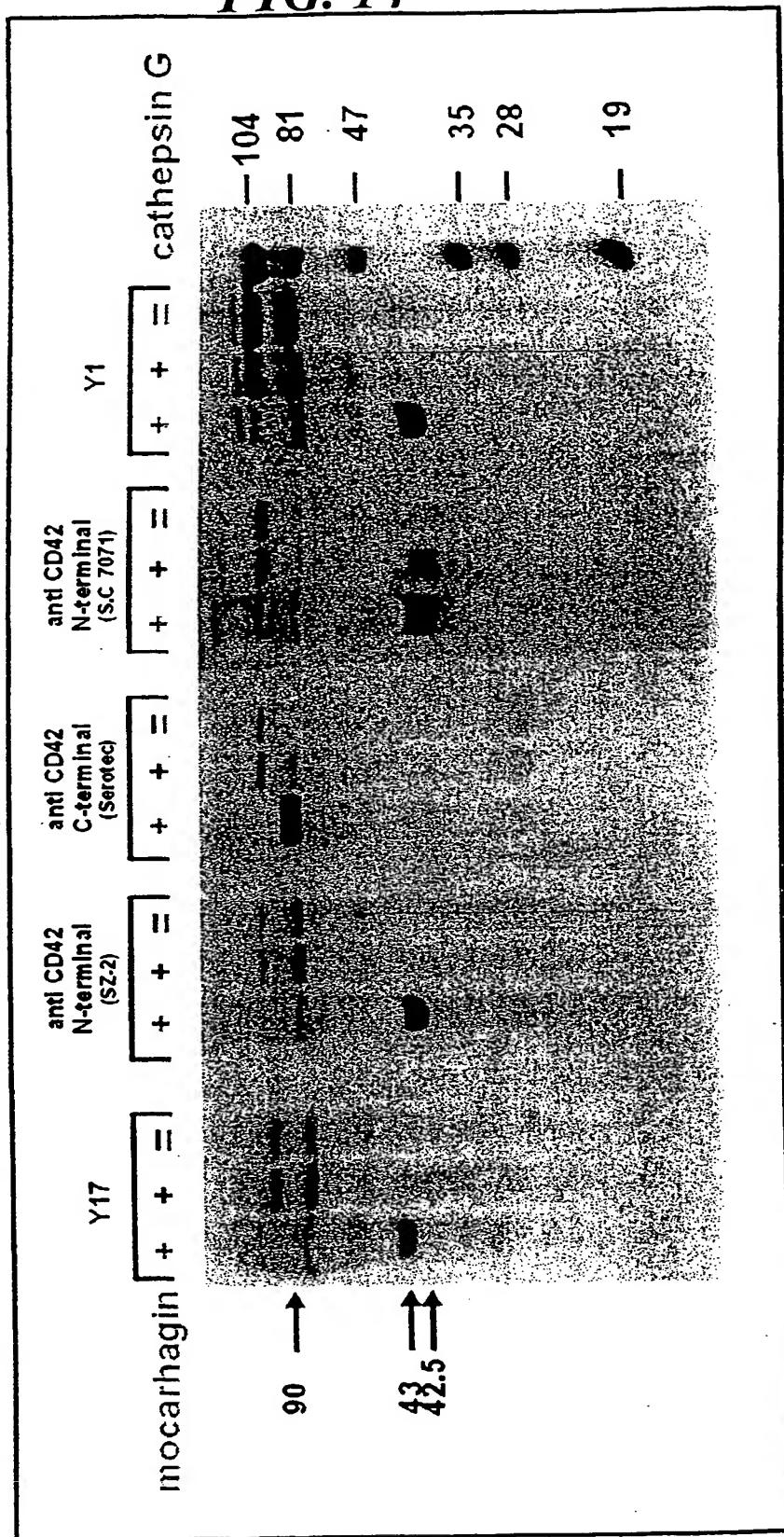




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## Cleavage of washed platelets by mocarhagin and cathepsin G

FIG. 14

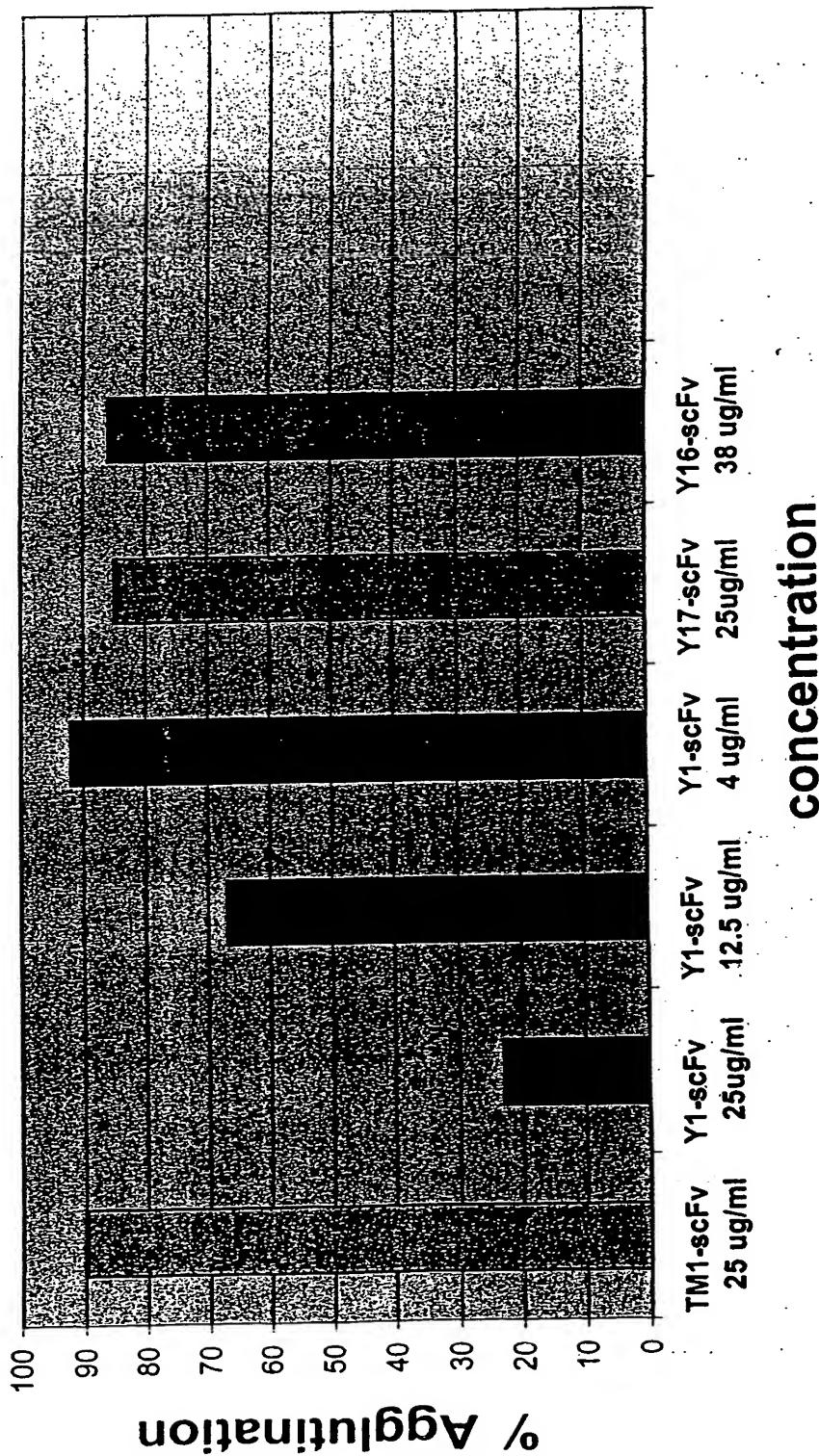


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FIG. 15

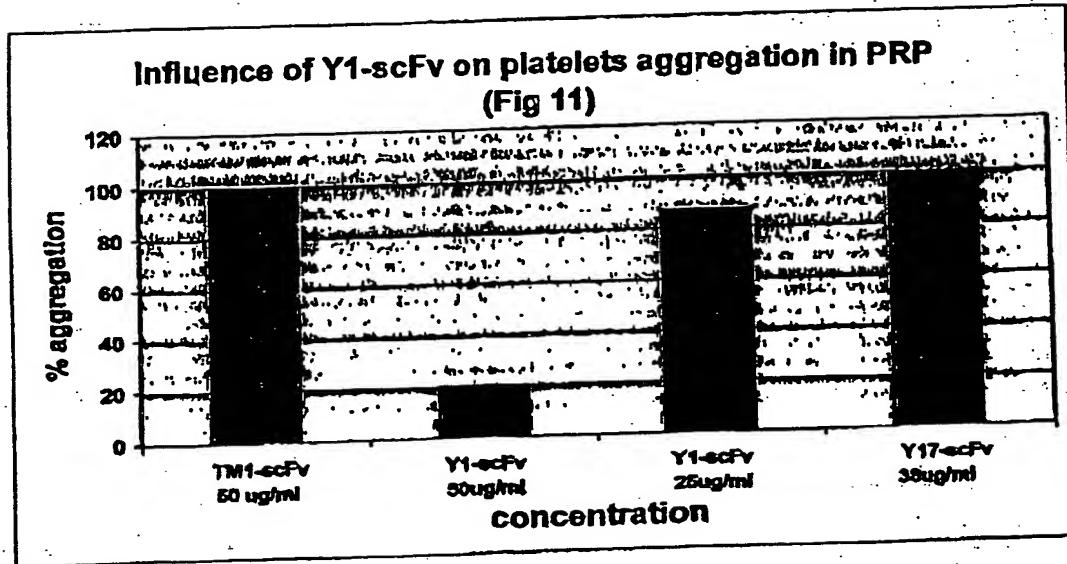
Influence of Y1-scFv on platelets agglutination in  
washed platelets



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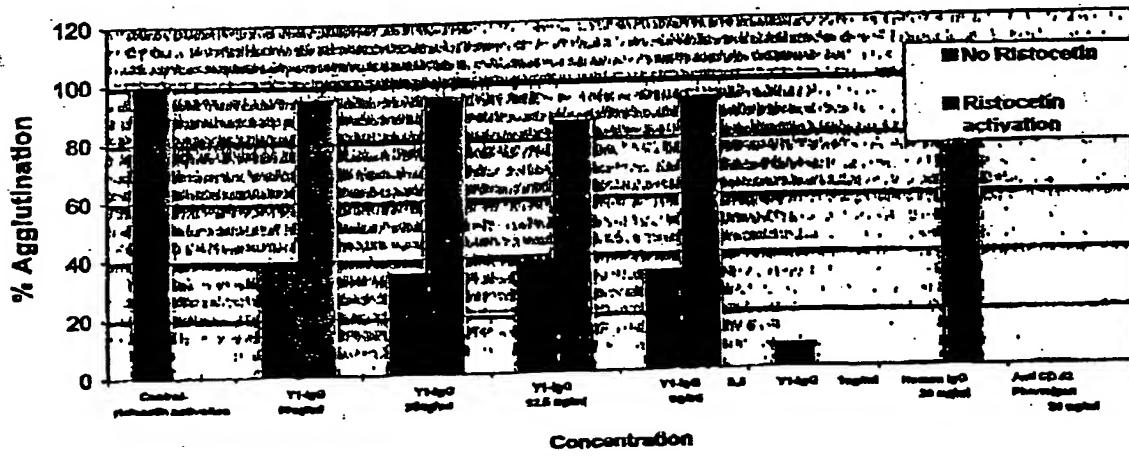
## FIG. 16





**FIG. 17**

**Induction of platelet agglutination by Y1-IgG in  
washed platelets**

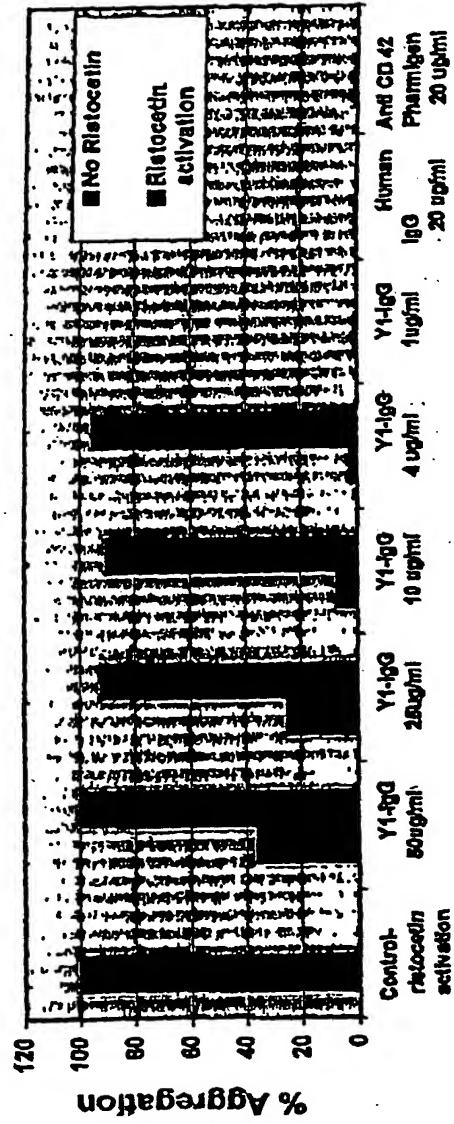




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FIG. 18

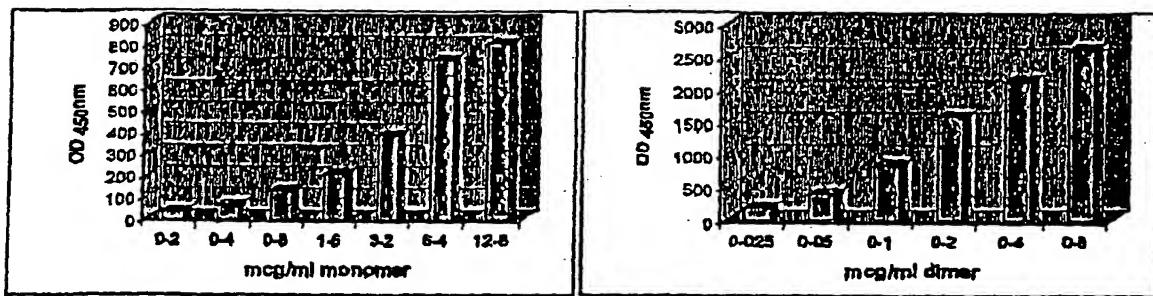
Induction of platelet aggregation by Y1-IgG in PRP





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**FIG. 19**





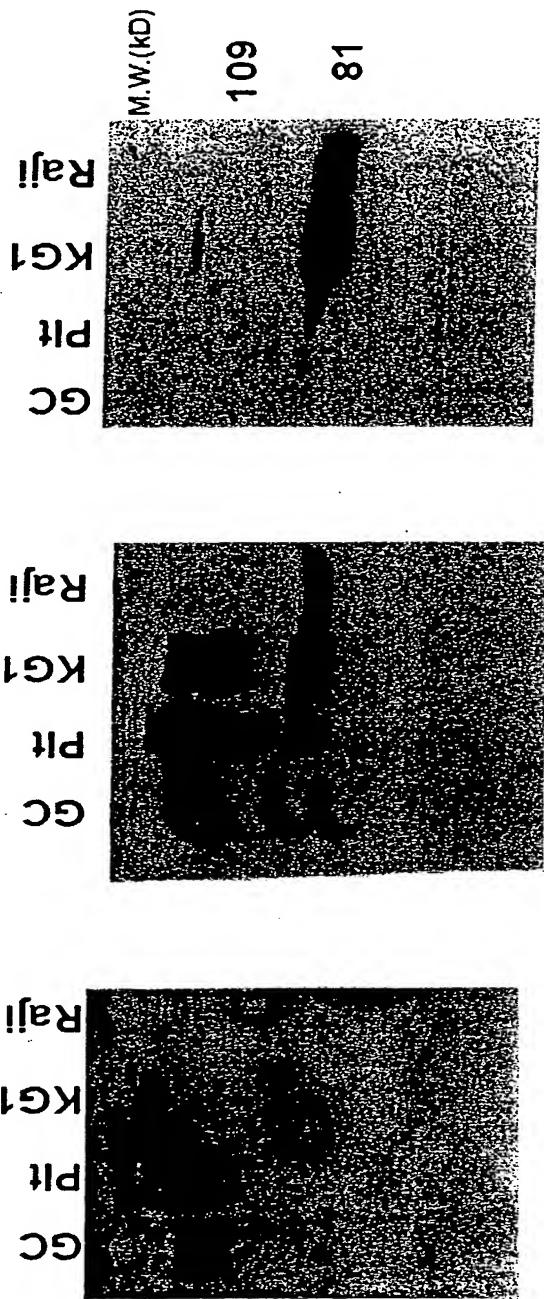
**Specificity of Binding of Y1  
and  $\alpha$ -CD42 (N1-19)  
to their Ligands**

**FIG. 20**

181-B

Y1-B

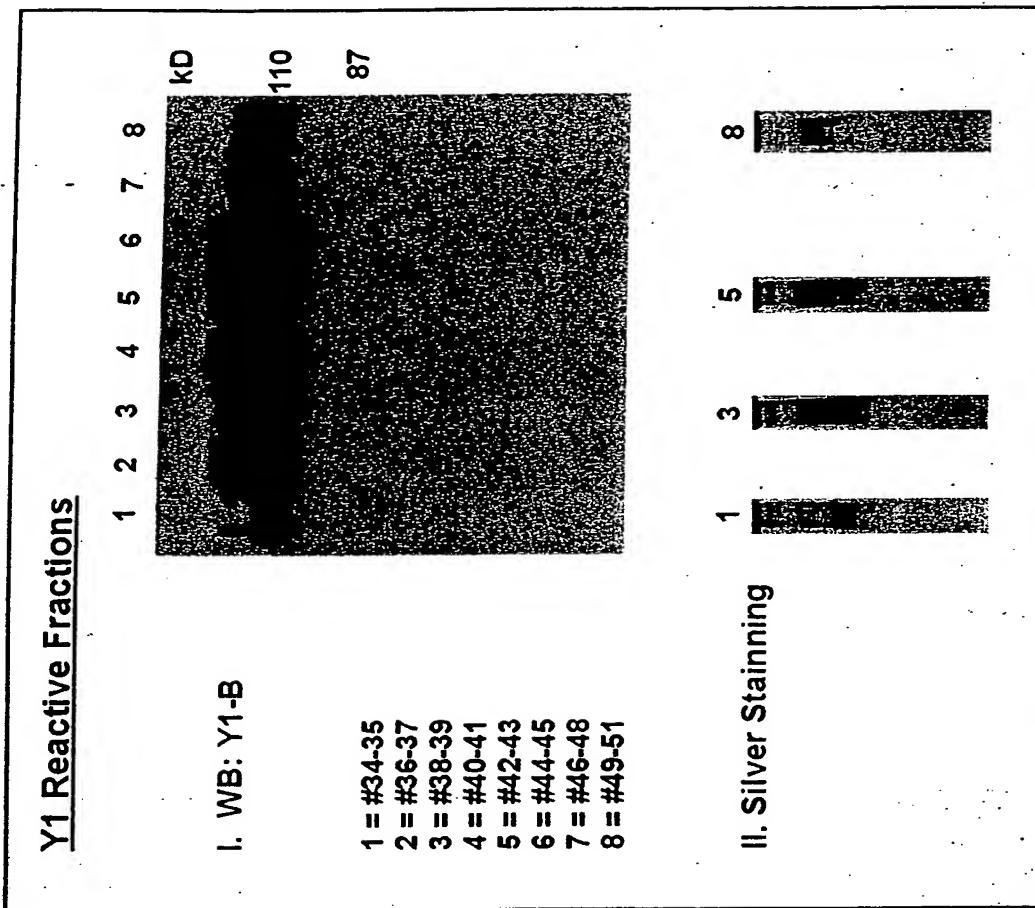
$\alpha$ -CD42





**FIG. 21**

**Y1-Ligand from KG1 membranes following  
Immuno-Precipitation with Y1:  
Purification on RP-HPLC**

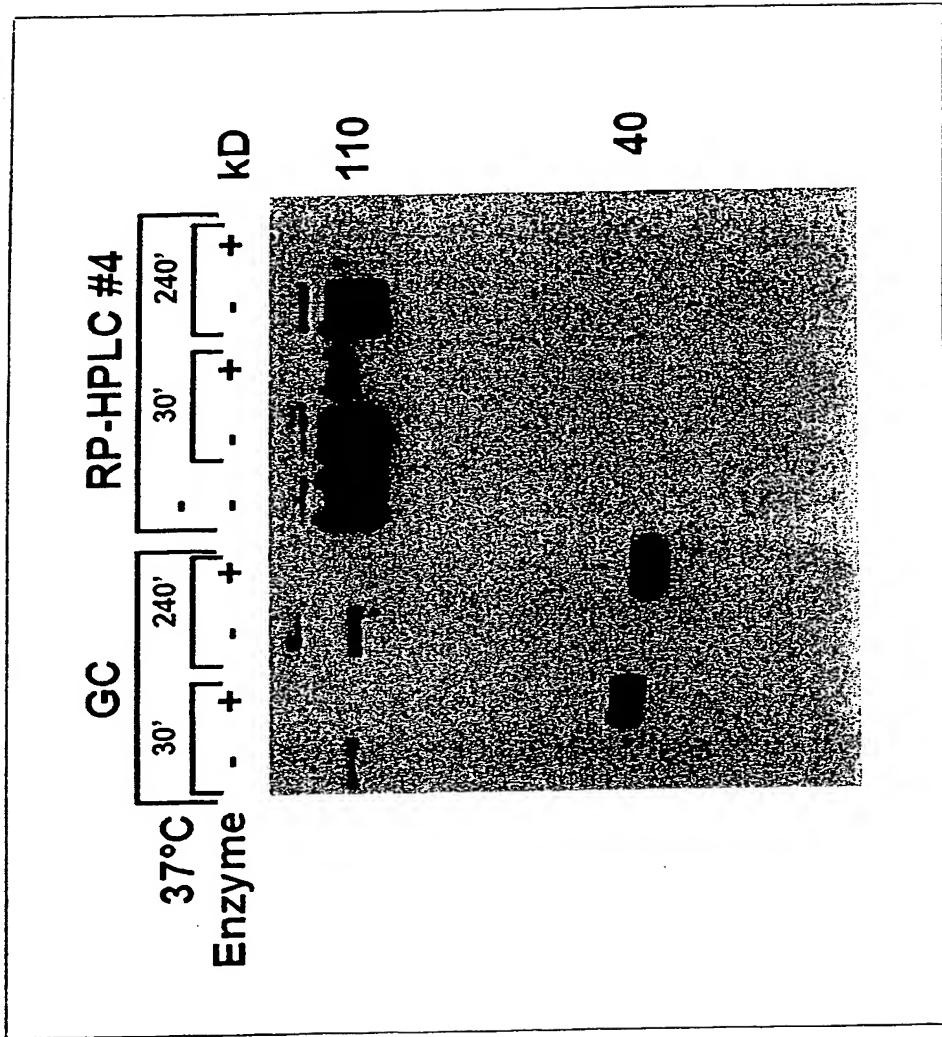


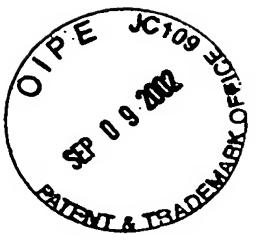


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FIG. 22

# Effect of O-Sialo-Glycoprotein Endopeptidase on Y1 Binding

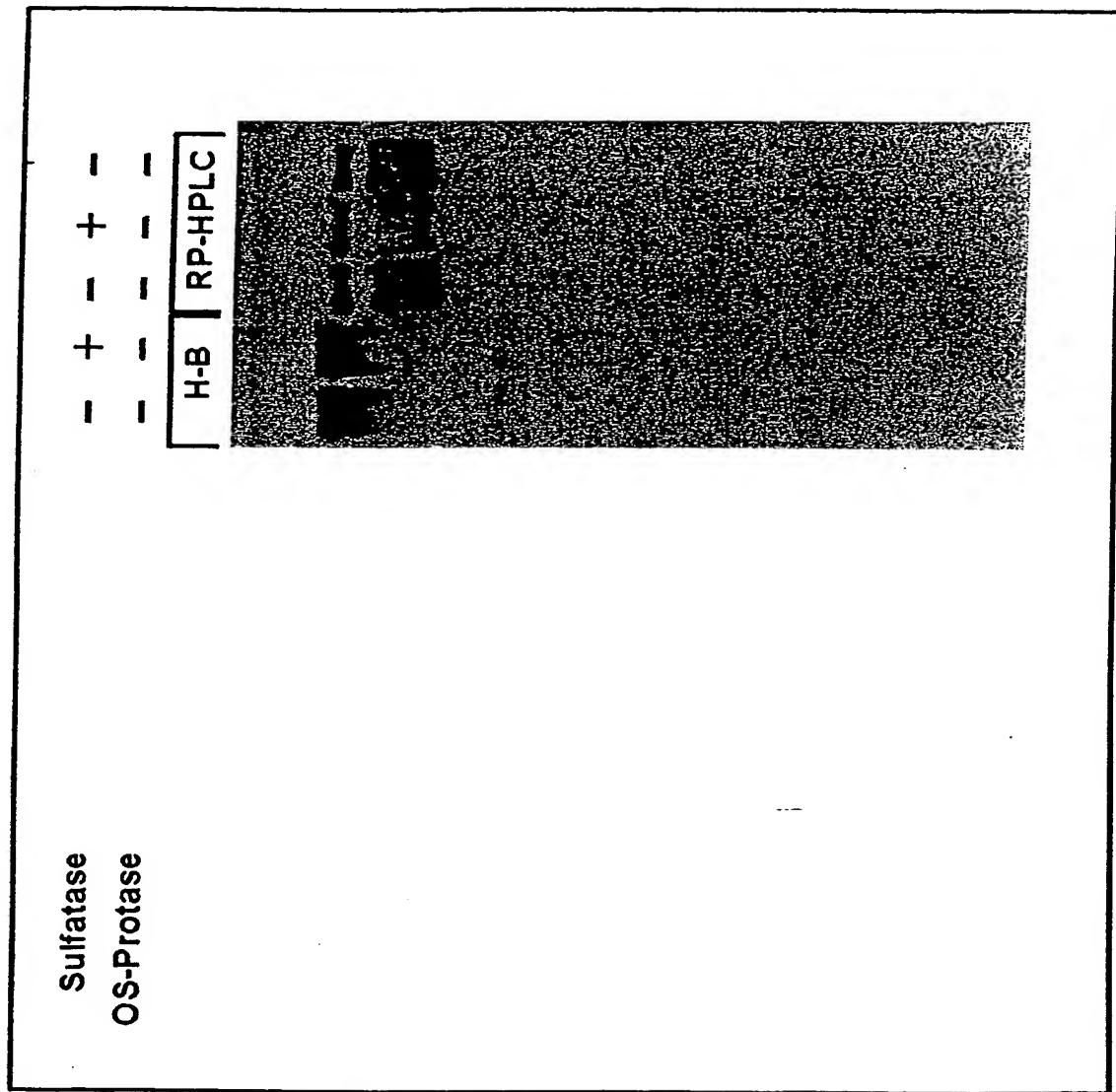




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**FIG. 23**

**Effect of Aryl-Sulfatase on Binding of Y1:  
RP-HPLC(KG1) & H-B(Heparin-BSA)**

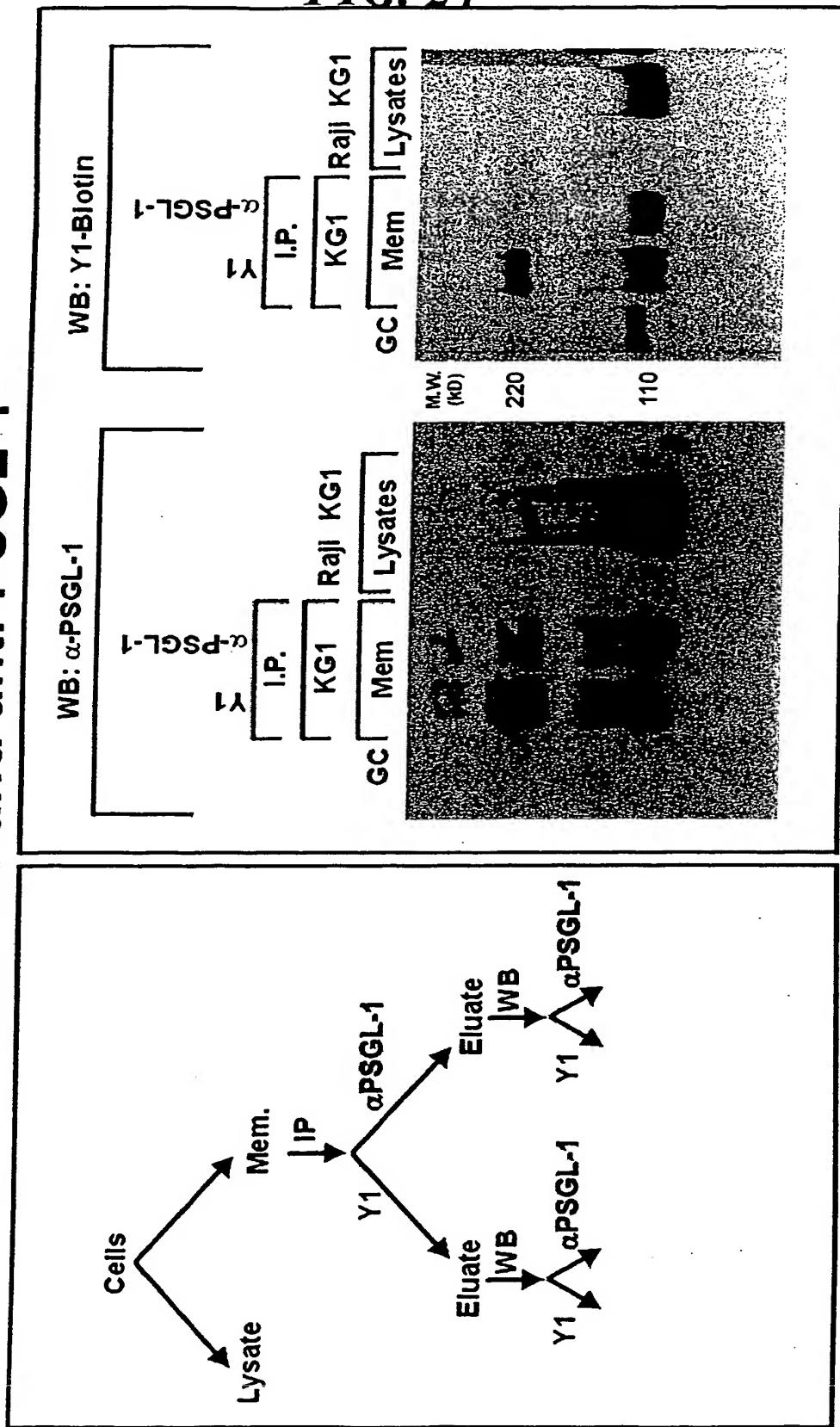




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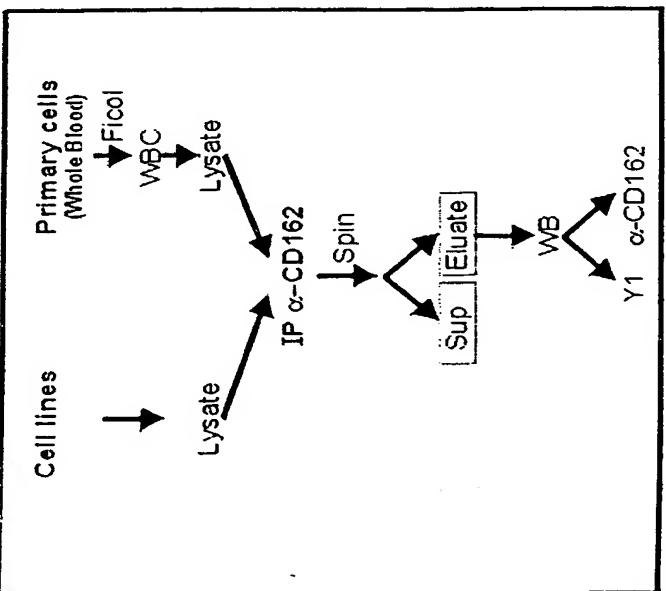
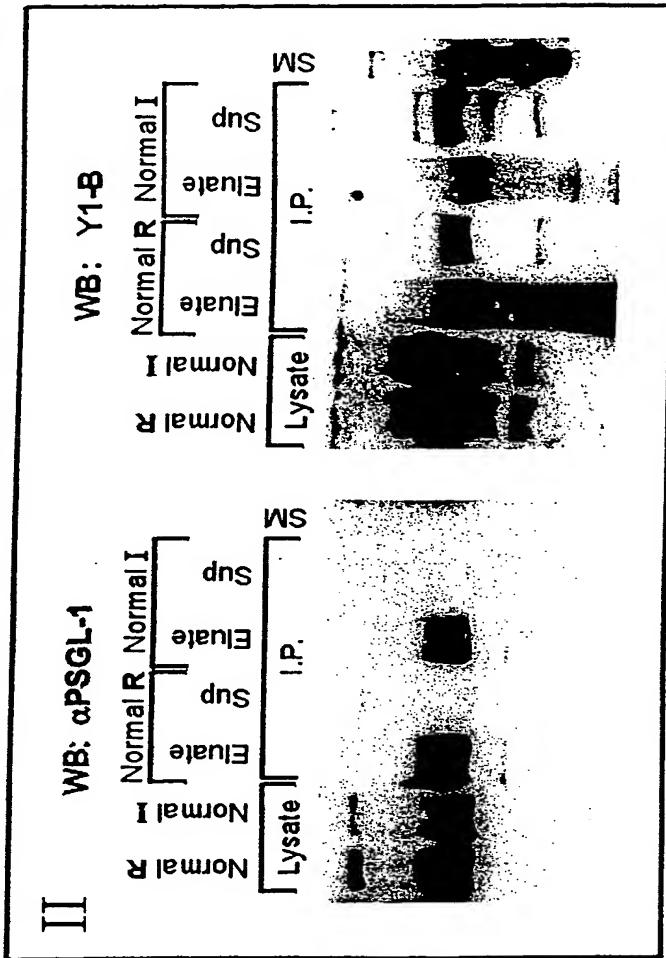
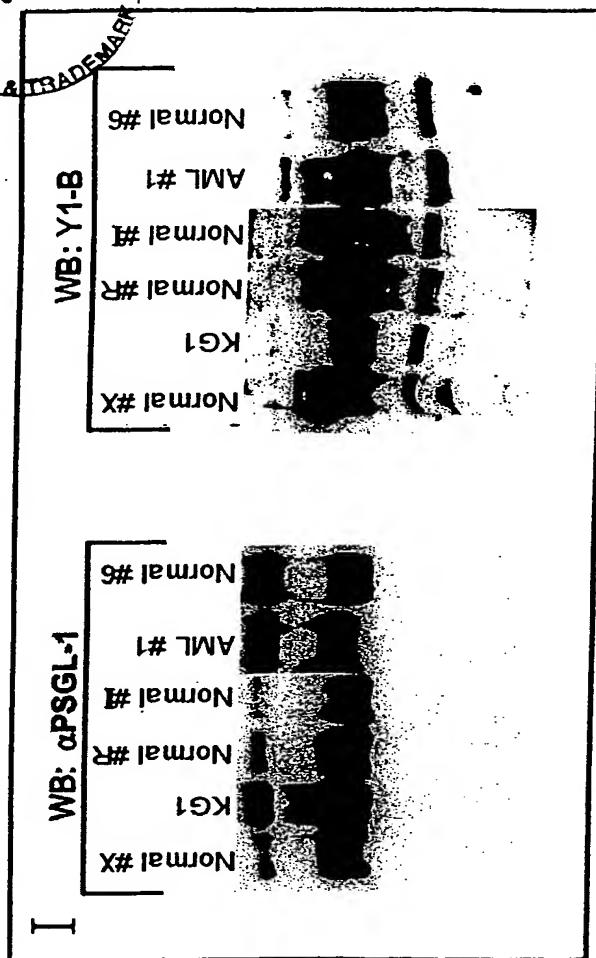
## Specificity of Y1 Binding: Analysis by Immune Precipitation with Y1 and anti-PSGL-1

FIG. 24



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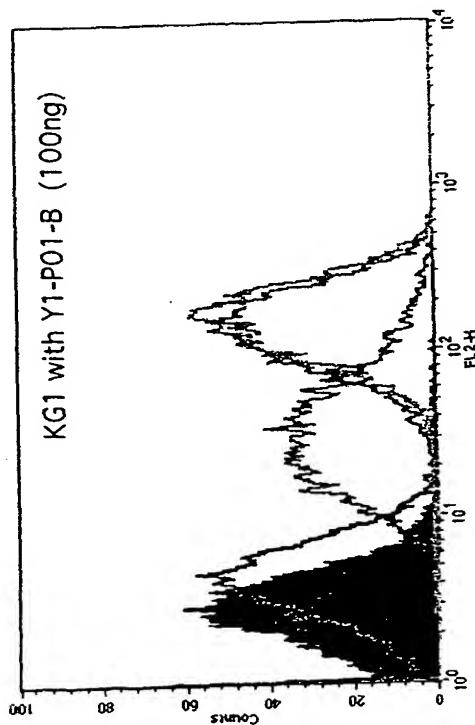
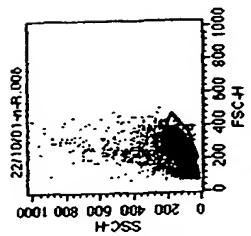
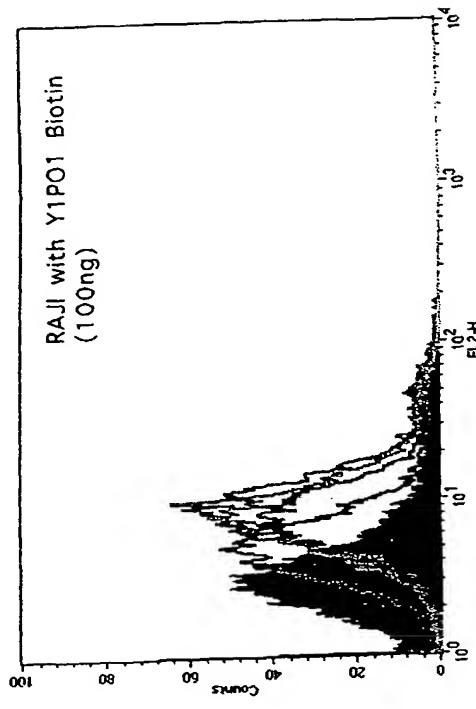
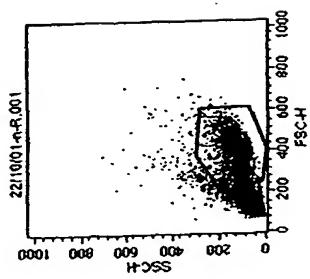
FIG. 25



$\alpha$ -CD162 and Y1:  
Comparison between cells  
from AML patient and normal  
blood

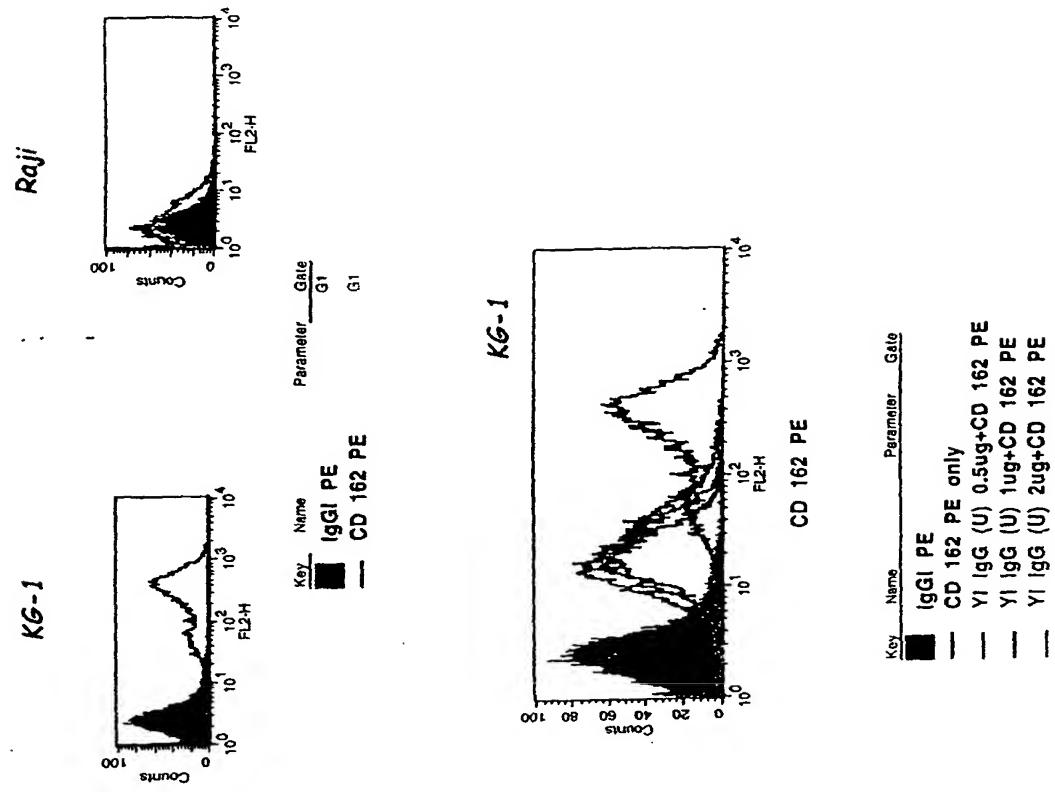


FIG. 26



Key	Name	Parameter	Date
■	2210001-nR.006	NO1-B	
■	2210001-nR.007	P01-B	
■	2210001-nR.008	+PL1	
■	2210001-nR.009	+PL1	
■	2210001-nR.010	+PL2	

**Specificity of Y1 Binding:  
Analysis by FACS**





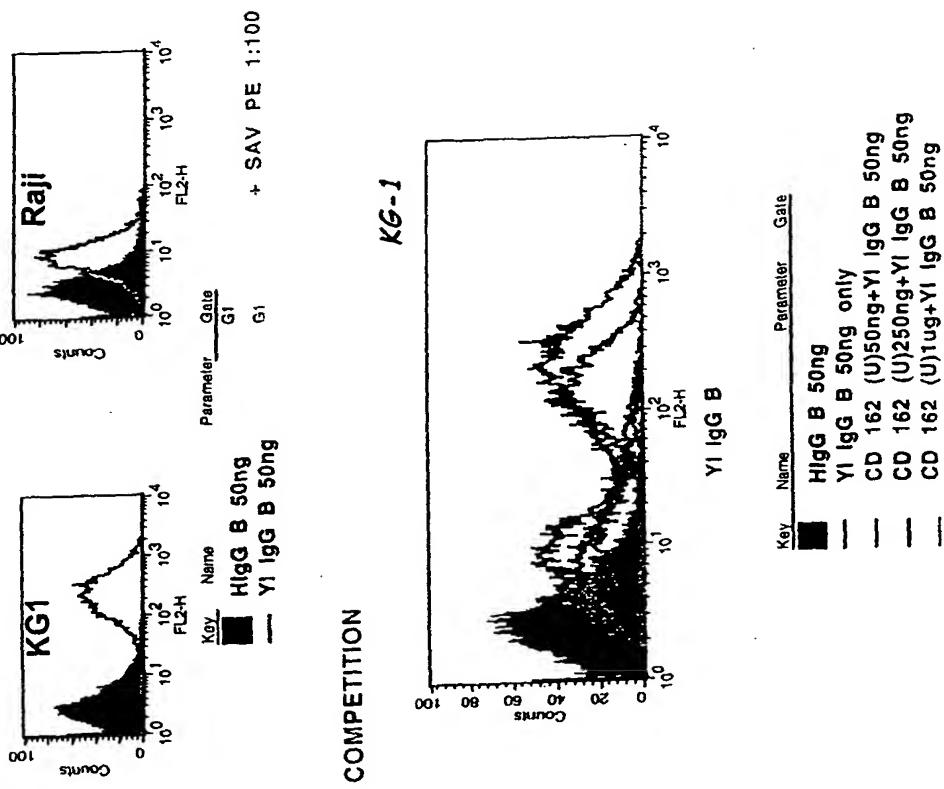
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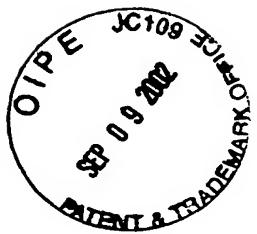
FIG. 28

## Specificity of Y1 Binding: Analysis by FACS

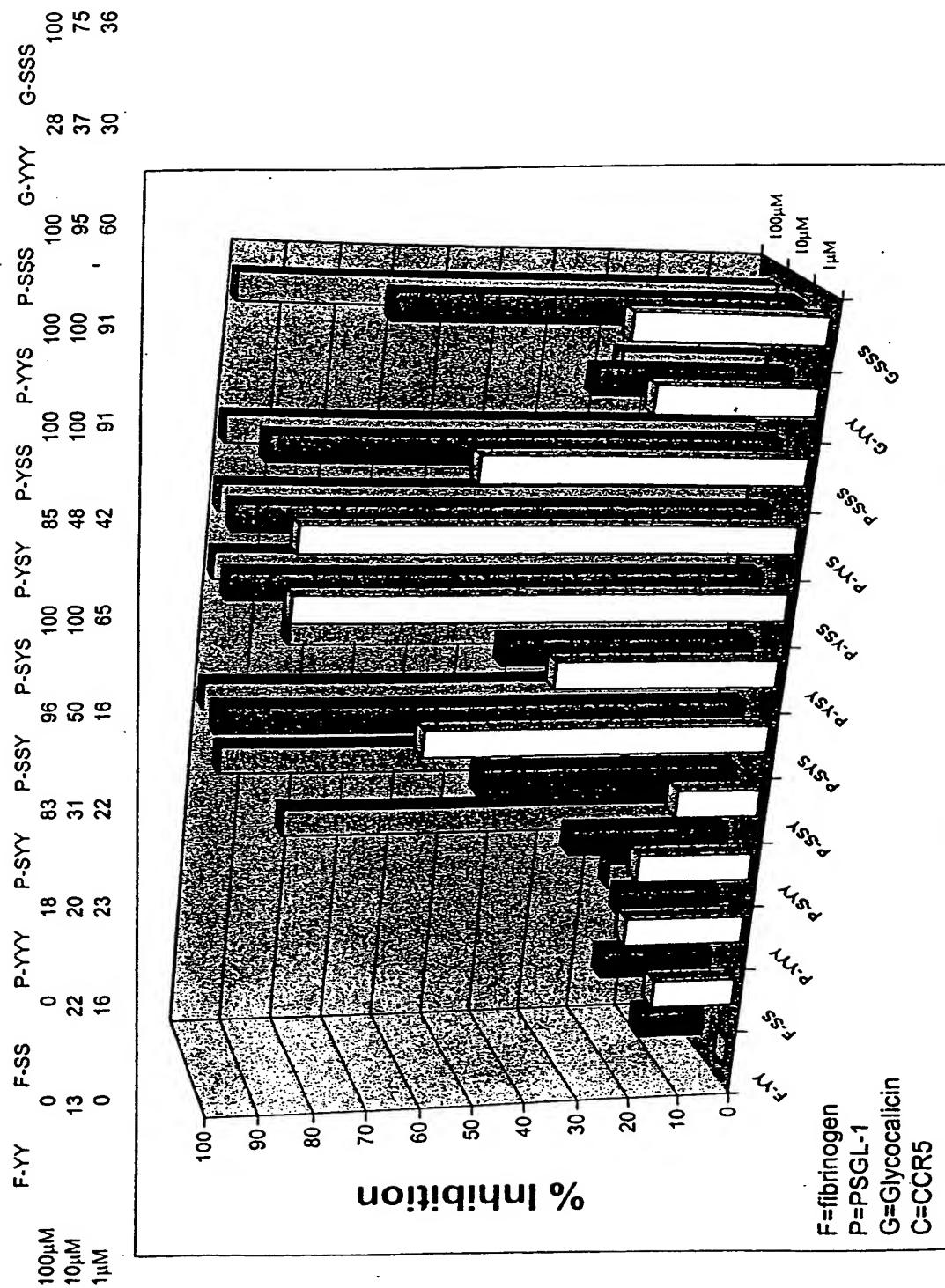
• Binding of  
Y1-IgG;  
competition  
with  $\alpha$ PSGL-1  
(CD162 /KPL1)

### COMPETITION



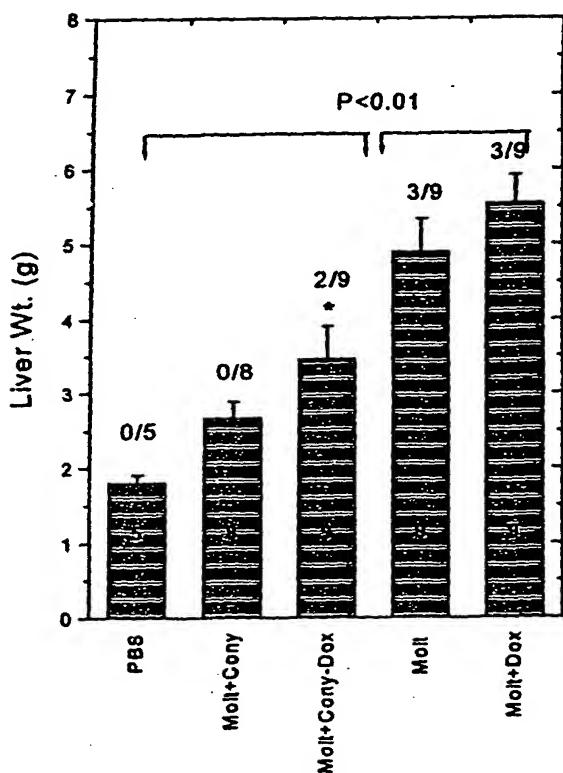


**FIG. 29**





**FIG. 30**

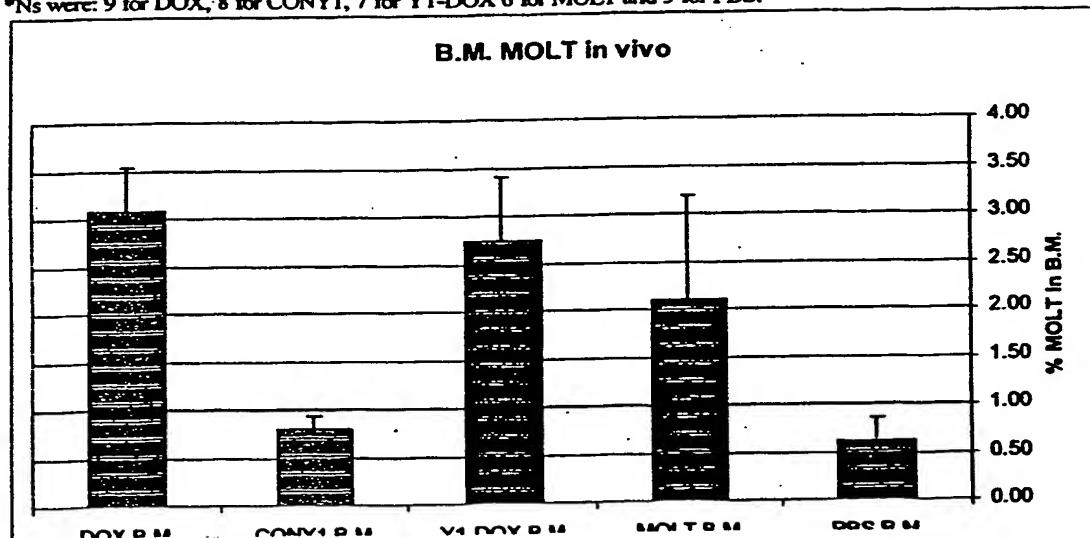




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## FIG. 31

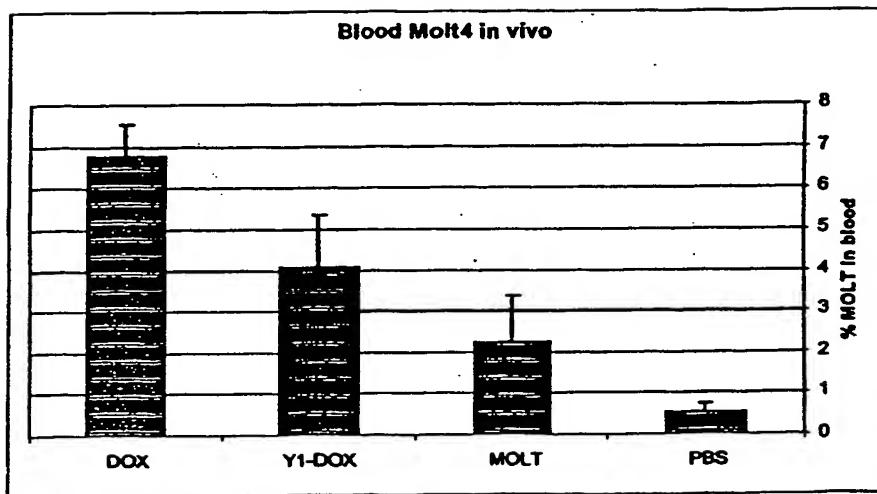
\*Ns were: 9 for DOX, 8 for CONY1, 7 for Y1-DOX 6 for MOLT and 5 for PBS.





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**FIG. 32**

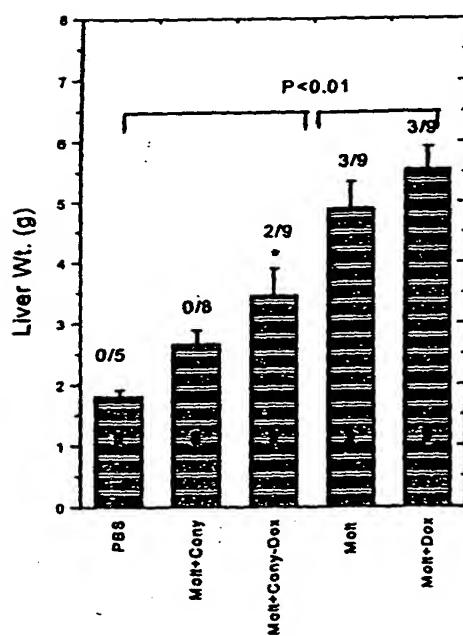


\*\*Ns were: 4 for DOX, 2 for Y1-DOX, 3 for MOLT and 3 for PBS.

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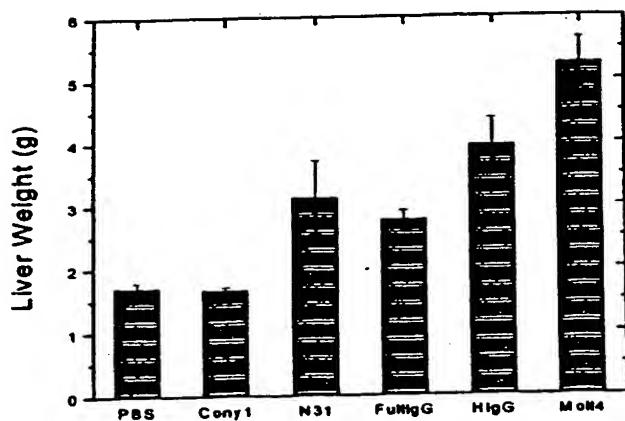
FIG. 33



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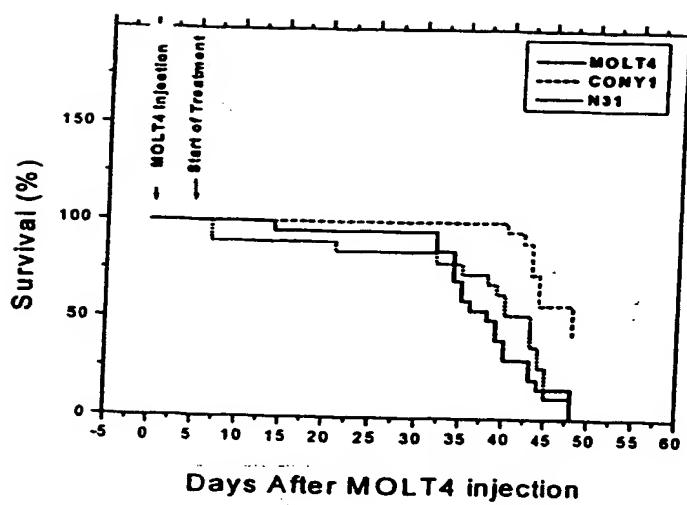
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**FIG. 34**





**FIG. 35**

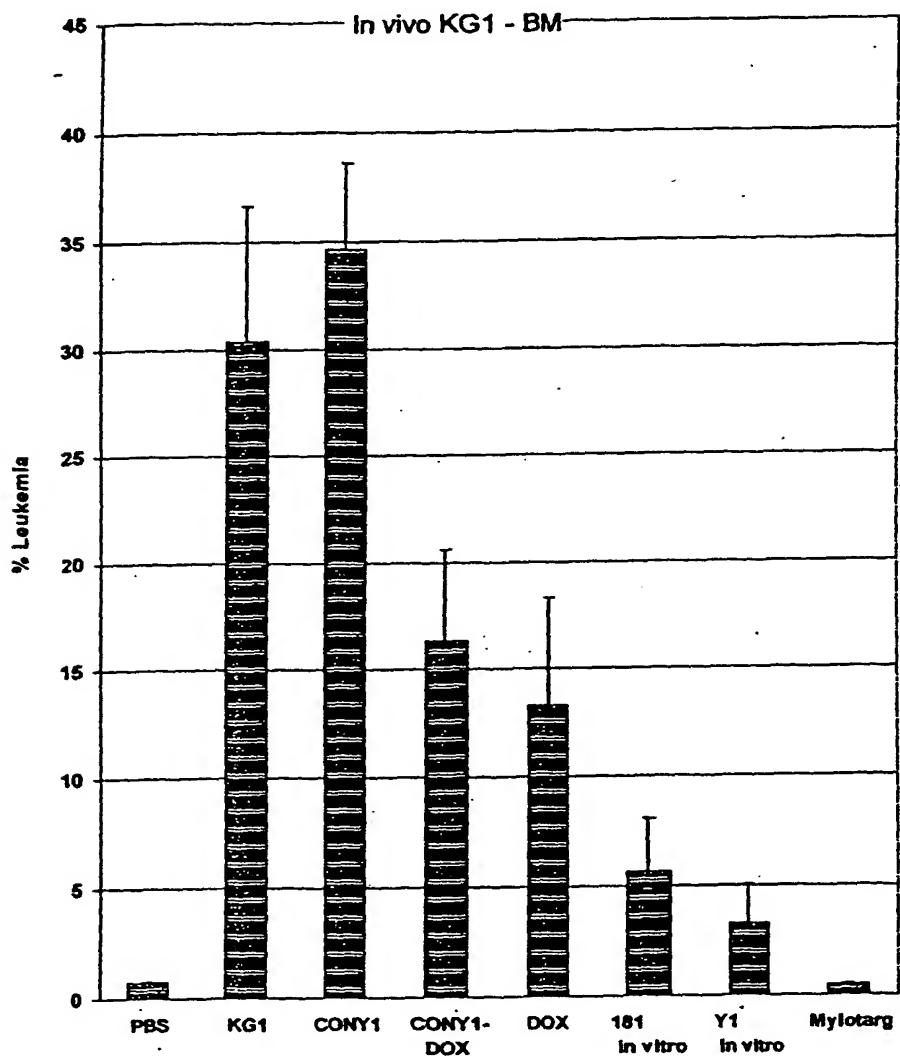


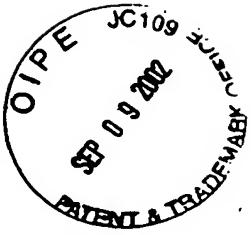


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## FIG. 36

\*\*\*Ns were: 8 for PBS, 9 for KG1, 8 for CONY1, 11 for CONY1-DOX, 9 for DOX, 8 for 181 in vitro, 9 for Y1 in vitro and 9 for Mylotarg.

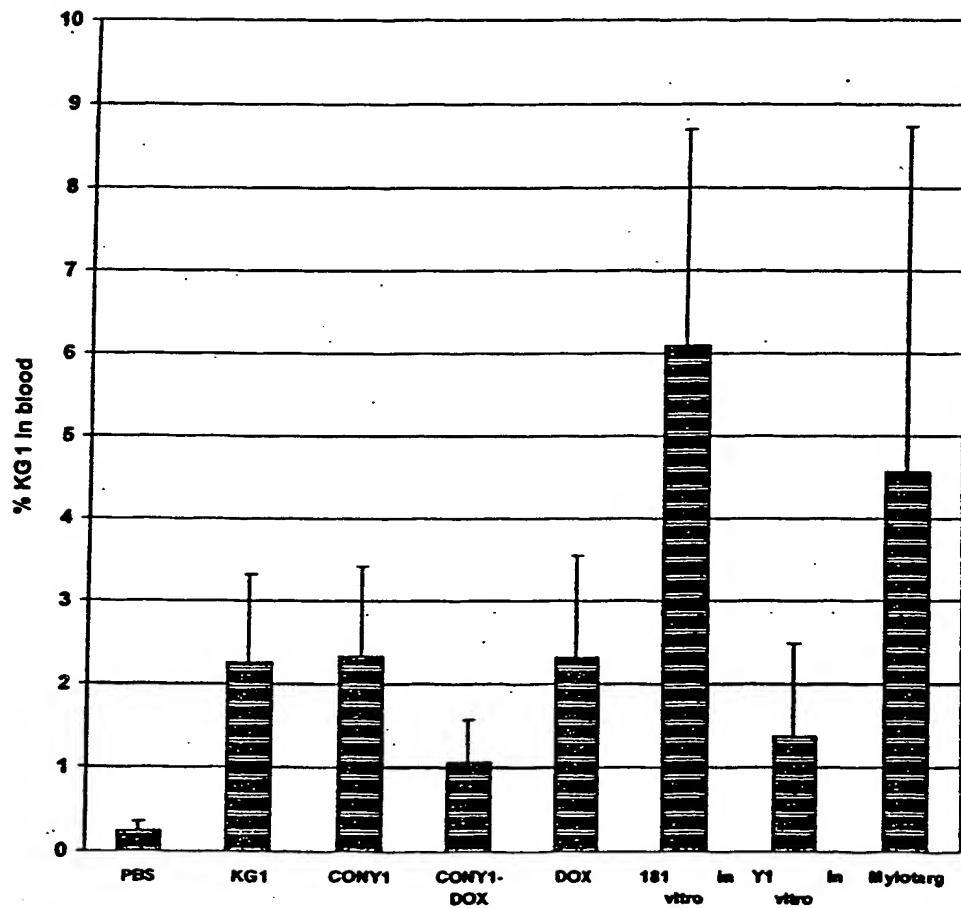




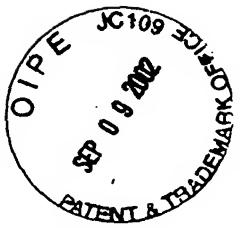
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## FIG. 37

### In vivo KG1 - Blood

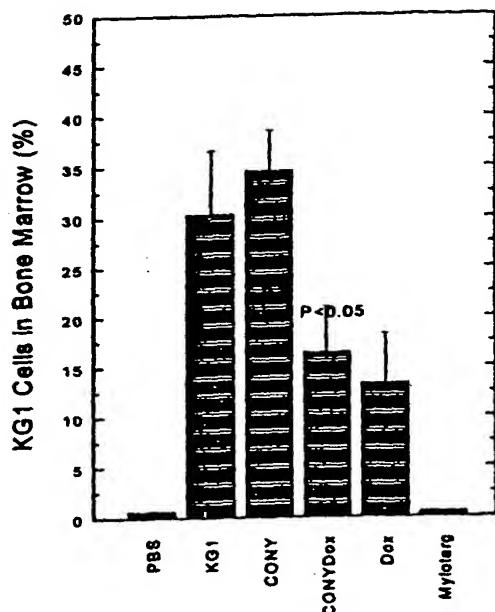


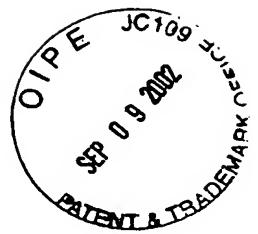
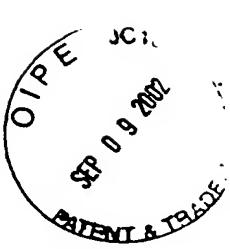
\*\*\*\*Ns were: 8 for PBS, 9 for KG1, 8 for CONY1, 9 for CONY1-DOX, 11 for DOX (including one mice injected with 5mg/kg DOX), 7 for 181 in vitro, 8 for Y1 in vitro and 7 for Mylotarg.



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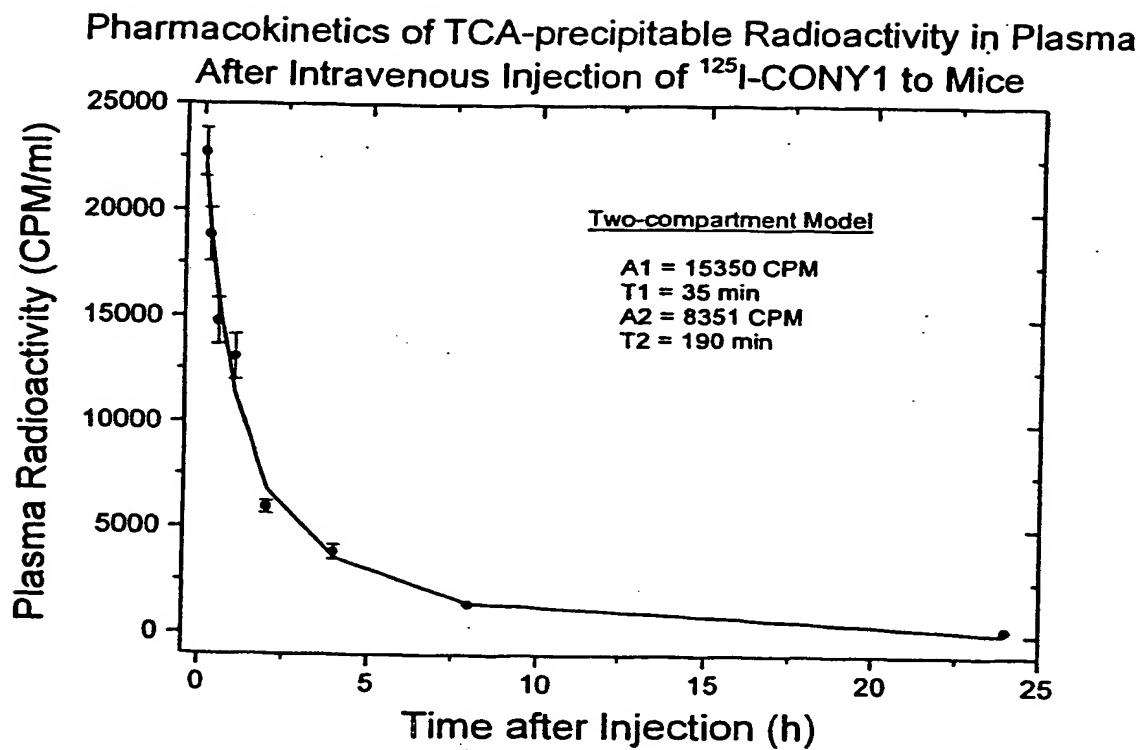
FIG. 38

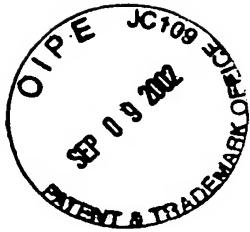




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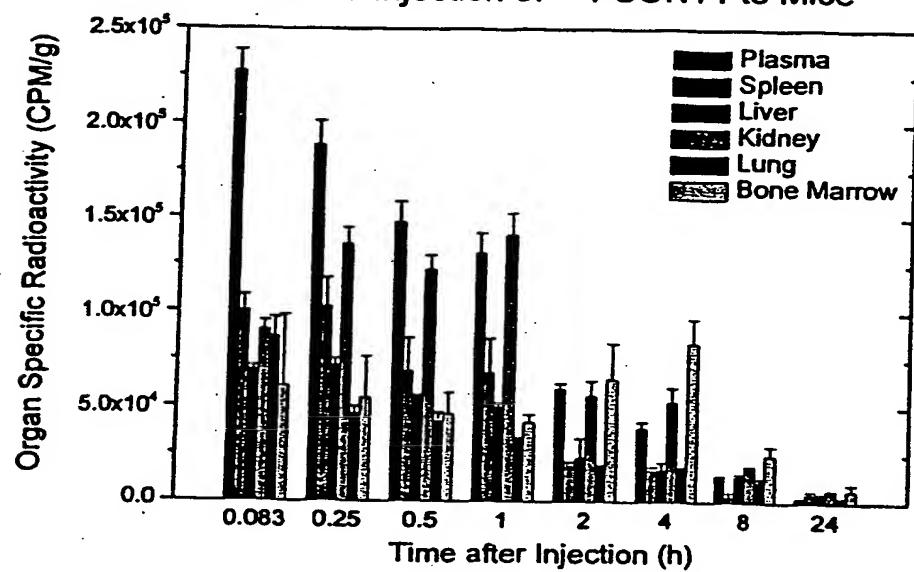
## FIG. 39

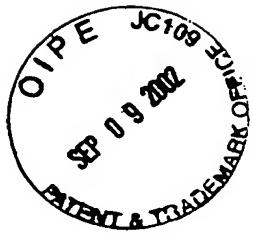




**FIG. 40**

**Specific Radioactivity of the Various Organs/tissues  
After IV injection of  $^{125}\text{I}$ -CONY1 to Mice**

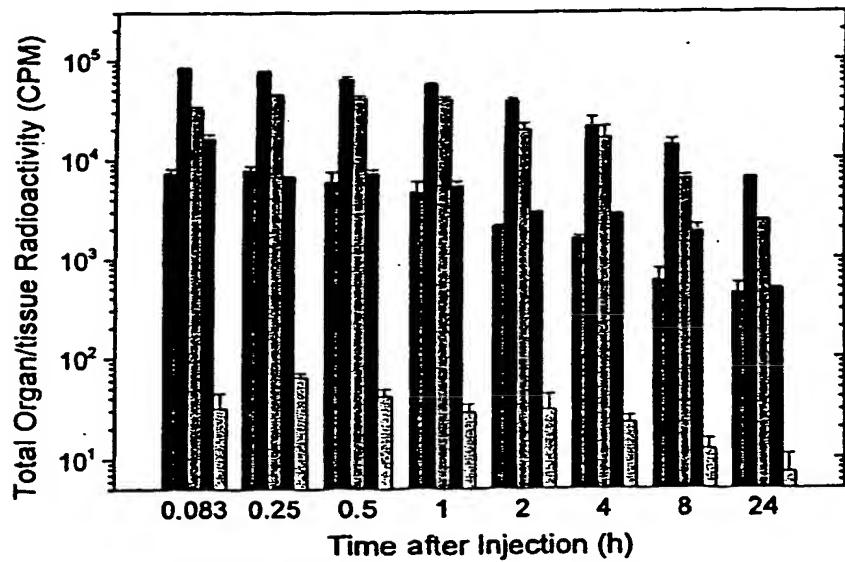




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**FIG. 41**

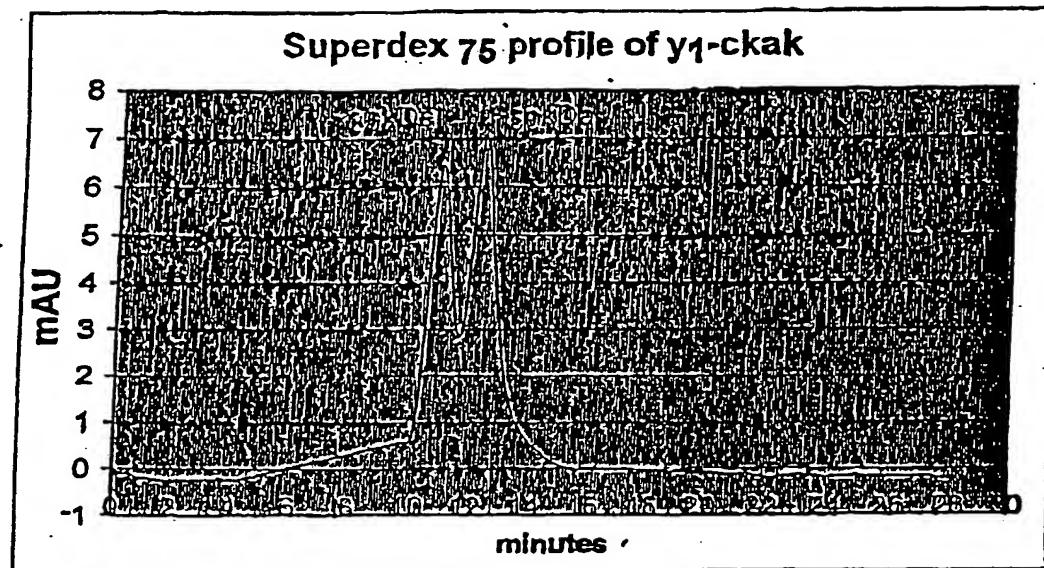
**Distribution of Radioactivity in Body organs after  
Injection of  $^{125}\text{I}$ -CONY1 to Mice**





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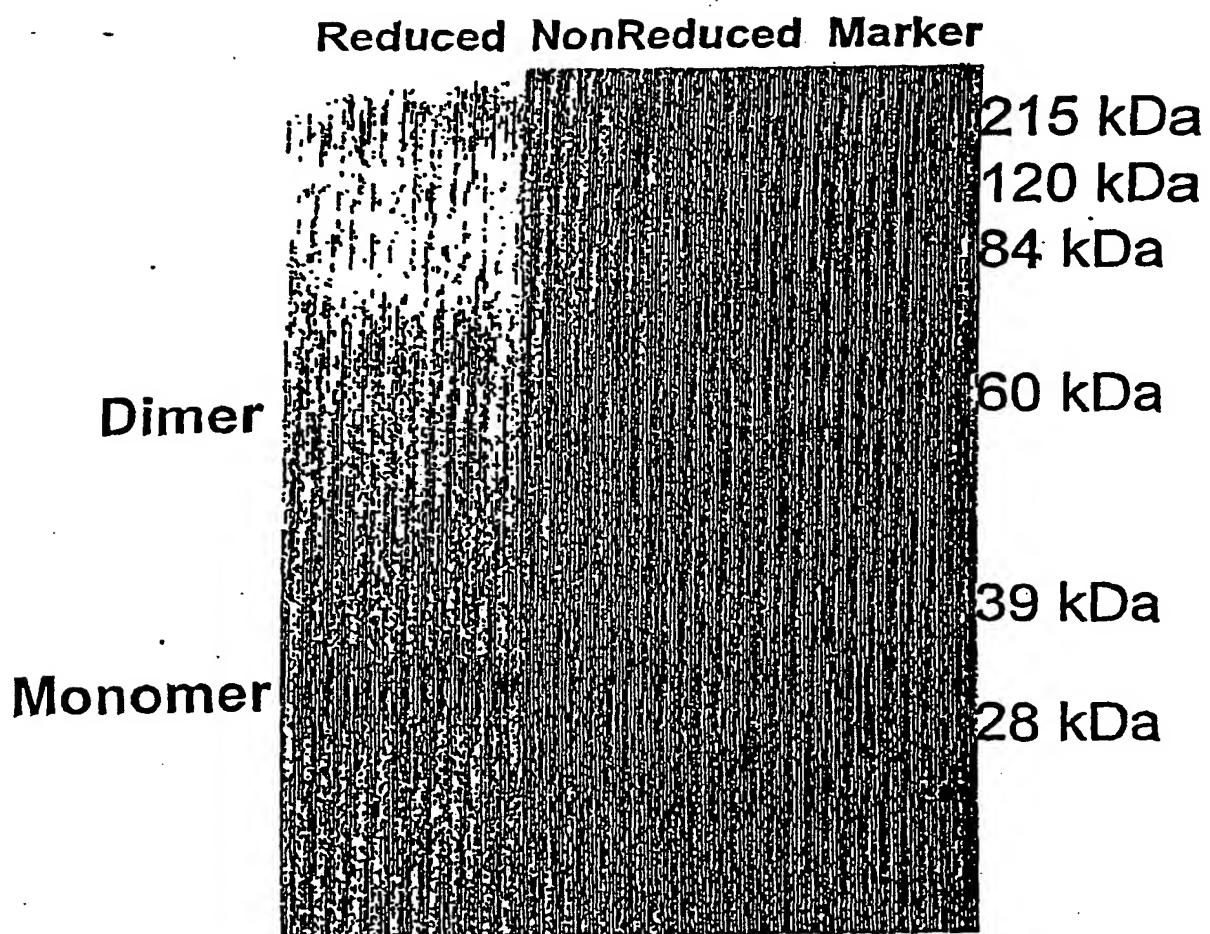
**FIG. 42**





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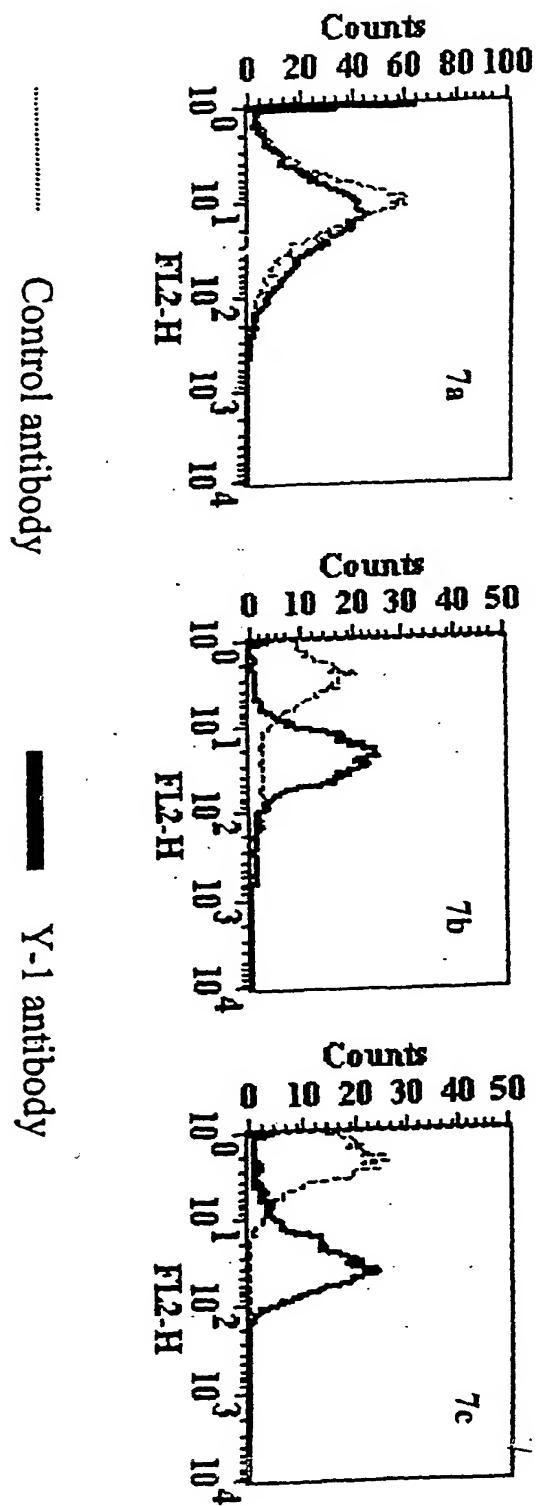
**FIG. 43**





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FIG. 44

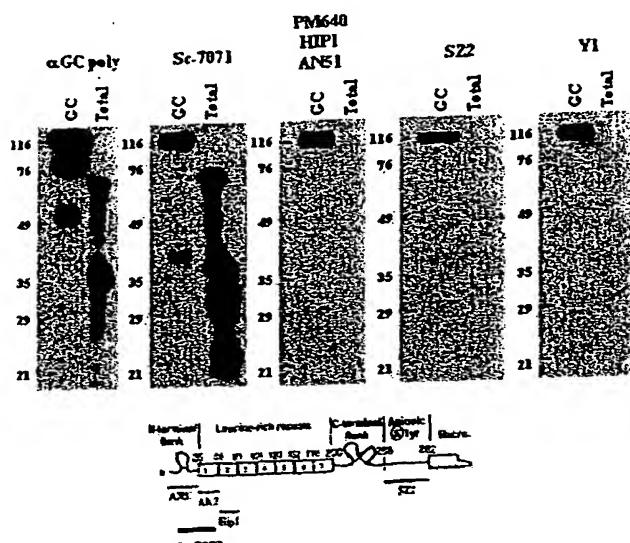


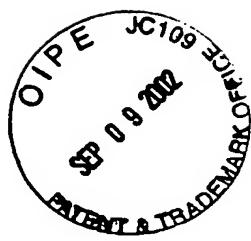


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## FIG. 45

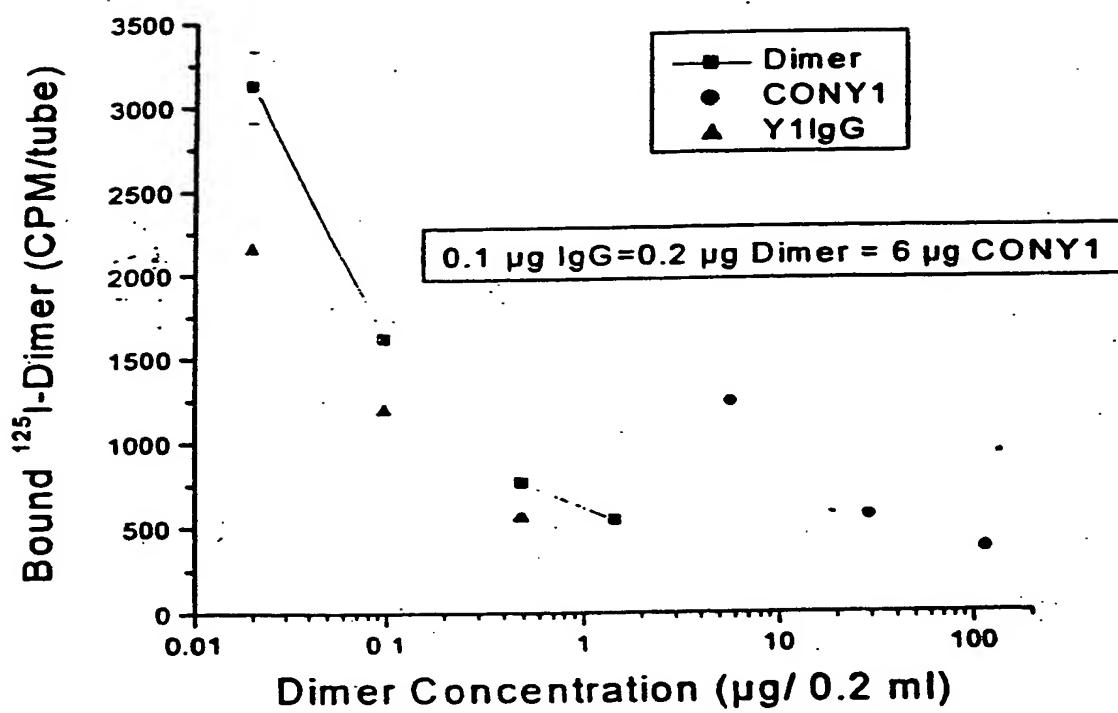
### Epitopes of anti-GPI $\beta\alpha$ antibodies

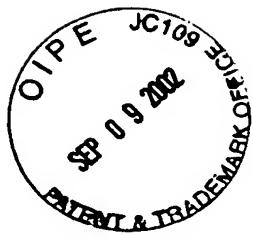




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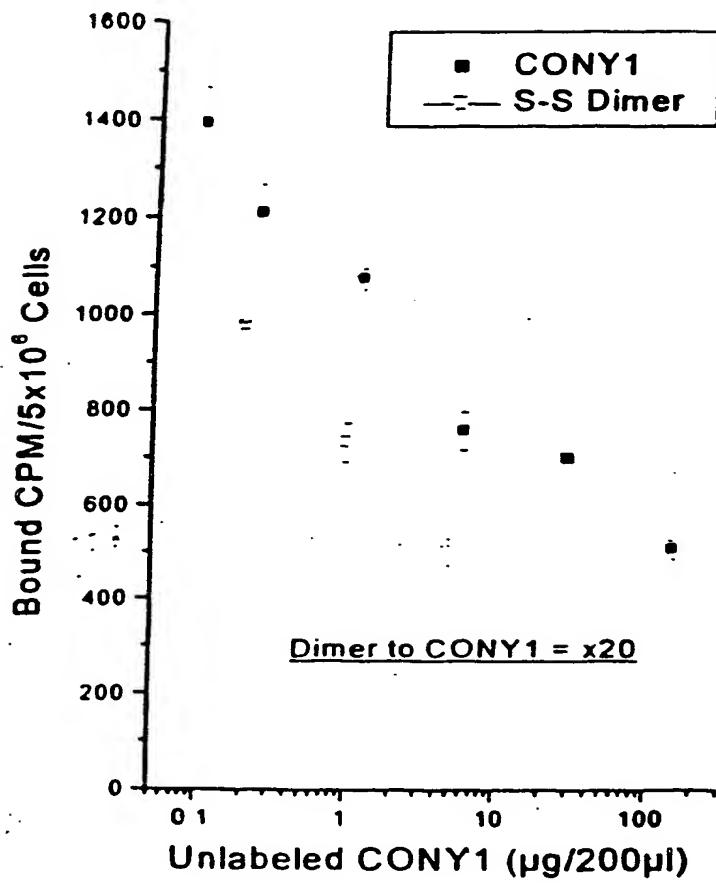
**FIG. 46**





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**FIG. 47**



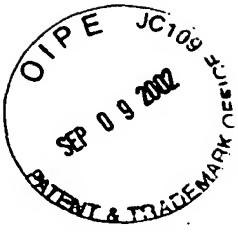
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**FIG. 48A: The ORF and Amino Acid Sequence of Y1-HC**

**SEQ ID NO: 205 (nucleic acid sequence): SEQ ID NO: 206 (amino acid sequence)**

1 ATGGCCTGGGCTCTGCTGCCCTOACCCCTCACTCAGGACACAGGGCTGGCCGAT  
1 M A W A L L L L T L L T Q D T G S W A D  
61 ATCCAGCTGGTGGAGTCTGGGGAGGTGTGGTACGGCCTGGGGTCCCTGAGACTCTCC  
21 I Q L V E S G G G V V R P G G S L R L S  
121 TGTGCAGCCTCTGGATTCACCTTGATGATTATGGCATGAGCTGGTCCGCCAAGCTCCA  
41 C A A S G F T F D D Y G M S W V R Q A P  
181 GGGAAAGGGCTGGAGTGGTCTGGTATTAAATTGGAATGGTGGTAGCACAGGTTATGCA  
61 G K G L E W V S G I N W N G G S T G Y A  
241 GACTCTGTGAAGGGCGATTCACCATCTCTAGAGACAACGCCAAGAACCTCCCTGTATCTG  
81 D S V K G R F T I S R D N A K N S L Y L  
301 - CAAATGAACAGTCTGAGAGCCGAGGGACACGGCGTGTATTACTGTGCAAGAACATGAGGGCT  
101 Q M N S L R A E D T A V Y Y C A R M R A  
361 CCTGTGATTGGGCCAAGGTACCCCTGGTCACCGTCTCGAGTGTGCTTCCACCAAGGGCCA  
121 P V I W G Q G T L V T V S S A S T K G P  
421 TCGGTCTCCCCCTGGCACCCCTCCAAGAGCACCTCTGGGGCACAGCGGCCCTGGC  
141 S V F P L A P S S K S T S G G T A A L G  
481 TGCCTGGTCAAGGACTACTTCCCCGAACCGGTACGGTGTGTTGAACTCAGGCCCTG  
161 C L V K D Y F P E P V T V S W N S G A L  
541 ACCAGCGCGTGCACACCTTCCCCGTGCTCACAGTCCTCAGGACTCTACTCCCTCAGC  
181 T S G V H T F P A V L Q S S G L Y S L S  
601 AGCGTGGTGACCGTGCCTCCAGCAGCTGGGACCCAGACCTACATCTGCAACGTGAAT  
201 S V V T V P S S S L G T Q T Y I C N V N  
661 CACAAGCCCAGCAACACCAAGGTGACAAGAGAGTTGAGCCAAATCTTGACAAAAGT  
221 H K P S N T K V D K R V E P K S C D K T  
721 CACACATGCCAACCGTGCCTCCAGCACCTGAACCTCTGGGGGACTGTCAGTCCTCOTCTTC  
241 H T C P P C P A P E L L G G P S V F L F  
781 CCCCCAAAACCCAAGGACACCCCTCATGATCTCCGGACCCCTGAGGTACATGCGTGGT  
261 P P K P K D T L M I S R T P E V T C V V  
841 GTGGACGTGAGCCACGAAGACCCCTGAGGTCAAGTCACTGGTACGTGGACGGCGTGGAG  
281 V D V S H E D P E V K F N W Y V D G V E  
901 GTGCATAATGCCAAGACAAGCCGGGAGGAGCAGTACAACAGCACGTACCGTGTGGTC  
301 V H N A K T K P R E E Q Y N S T Y R V V  
961 AGCGTCCTACCGTCTGCACCAGGACTGGCTGAATGGCAAGGAGTACAAGTGCAAGGTC  
321 S V L T V L H Q D W L N G K E Y K C K V  
1021 TCCAACAAAGCCCTCCAGCCCCATCGAGAAAACCATCTCCAAAGCCAAAGGGCAGCC  
341 S N K A L P A P I E K T I S K A K G Q P  
1081 OGAGAACACAGGTGTACACCCCTGCCCATCCGGAGGGAGATGACCAAGAACAGGTC  
361 R E P Q V Y T L P P S R E E M T K N Q V  
1141 AGCCTGACCTGCCCTGGTCAAAGGCTTCTATCCAGCGACATGCCGTGGAGTGGAGAGC  
381 S L T C L V K G F Y P S D I A V E W E S  
1201 AATGGGCAGCCGGAGAACAACTACAAGACCACTGGCTGGACTCCGACGGCTCC  
401 N G Q P E N N Y K T T S P V L D S D G S  
1261 TTCTTCCTCTATAGCAAGCTACCCCTGCCCATCCGGAGGGAGATGACCAAGAACAGGTC  
421 F F L Y S K L T V D K S R W Q Q G N V F  
1321 TCATGCTCCGTGATGCATGAGGCTCTGCACAACCACTACACCGCAGAAGAGCCTCTCCCTG  
441 S C S V M H E A L H N H Y T Q K S L S L  
1381 TCTCTGGGTAAATGA  
461 S L G K \*



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**FIG. 48B: The ORF and Amino Acid Sequence of Y1-LC**

**SEQ ID NO: 207 (nucleic acid sequence); SEQ ID NO: 208 (amino acid sequence)**

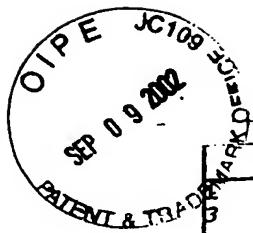
1 ATGGCCTGGGCTCTGCTGCTCCTCACCCCTCACTCAGGACACAGGGCTGGGCCGAT  
1 M A W A L L L T L L T Q D T G S W A D  
  
61 GCAGAGCTGACTCAGGACCCCTGCTGTCTGTGGCCTGGACAGACAGTCAGGATCACA  
21 A E L T Q D P A V S V A L G Q T V R I T  
  
1212 TGCCAAGGAGACAGCCTCAGAAGCTATTATGCAAGCTGGTACCCAGCAGAAGCCAGGACAG  
41 C Q G D S L R S Y Y A S W Y Q Q K P G Q  
  
181 GCCCCCTGTACTTGTCATCTATGGAAAAACAACCGGCCCTCAGGGATCCCAGACCGATT  
161 A P V L V I Y G K N N R P S G I P D R F  
  
241 TCTGGCTCCAGCTCAGGAAACACAGCTTCCCTGACCATCACTGGGGCTCAGGCCGAAGAT  
81 S G S S S G N T A S L T I T G A Q A E D  
  
301 GAGGCTGACTATTACTGTAACCTCCGGGACAGCAGTGGTAACCATGTGGTATTCCGGGA  
101 E A D Y Y C N S R D S S G N H V V V F G G  
  
361 GGGACCAAGCTGACCGTCCCTAGGTCAAGCCAAGGCCACACTGGTGTCTCATAGTGA  
121 G T K L T V L G Q P K A A P S V T L F P  
  
421 CCCTCCTCTGAGGAGCTTCAAGCCAACAAGGCCACACTGGTGTCTCATAGTGA  
141 P S S E E L Q A N K A T L V C L I S D F  
  
481 TACCCGGGAGCCGTGACAGTGGCTGGAAAGGAGATAGCAGCCCGTCAAGGCCGGAGTG  
161 Y P G A V T V A W K A D S S P V K A G V  
  
541 GAGACCACACACCCCTCAAACAAAGCAACAACAAGTACGCCAGCAGCTACCTGAGC  
181 E T T T P S K Q S N N K Y A A S S Y L S  
  
601 CTGACGCCCTGAGCAGTGGAAAGTCCCACAAAAGCTACAGCTGCCAGGTACGCATGA  
201 L T P E Q W K S H K S Y S C Q V T H E G  
  
661 AGCACCGTGGAGAAGACAGTGGCCCTACAGAATGTTCATGA  
221 S T V E K T V A P T E C S \*



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**FIG. 49**

	11	21	31	41	51		
1	EVQLVESGGG	LVQPGGSLRL	SCAASGFTFS	SYAMSWVRQA	PGKGLEWVSA	ISGSGGSTYY	60
61	ADSVKGRFTI	SRDNSKNTLY	LQMNSLRAED	TAVYYCARVA	KTLMRQYSIW	GQGTLVTVSR	120
121	GGGGSGGGGS	GGGGSSELTO	DPAVSVALGQ	TVRITCQGDS	LRSYYASWYQ	QKPGQAPVLV	180
181	IYGKNVRPSG	IPDRFSGSSS	GNTASLTITG	AQAEDEADYY	CNSRDSSGNH	VVFGGGTRLT	240
241	VLGAAAEEQKL	ISEEDLNAA					



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FIG. 50

	10	20	30	40	50	60
	AtTATTACTC	gCGGGCCCAAGC	CgGCCAAGC	CGAGGTGCAG	CTGGTGGAGT	CTGGGGGAGG
	L L L A A Q P A F	M	A	E V Q	L V E	S G G G
	70	80	90	100	110	120
L	CTTGGTACAG	CCTGGGGGGT	CCCTGAGACT	CTCCCTGTGCA	GCCTCTGGAT	TCACCTTTAG
B	L V Q	P G G	S L R L	S C A	A S G	F T F S
	130	140	150	160	170	180
L	CAGCTATGCC	ATGAGCTGGG	TCCGCCAGGC	TCAGGGAAAG	GGGCTGGAGT	GGGTCTCACGC
B	S Y A	M S W	V R Q A	P G K	G L E	W V S A
	190	200	210	220	230	240
L	TATTAAGTGGT	AGTGGTGGTA	GCACATACATA	CCGAGACTCC	GTGAAGGGCC	GGTTCACCAT
B	I S G	S G G	S T Y Y	A D S	V K G	R F T I
	250	260	270	280	290	300
L	CTCCAGAGAC	AATTCCAAGA	ACACGCTGTA	TCTGCAAATG	AAACAGCTGTA	GAGCCGAGGA
B	S R D	N S K	N T L Y	L Q M	N S L	R A E D
	310	320	330	340	350	360
L	CAACGGCCTG	TATTAAGTGTG	CAAGAAGGCG	CCAGACTTTC	TCAGCTTCTT	GGGGCCCAAGG
B	T A V	Y Y C	A R T G	Q S I	K R S	W G Q G
	370	380	390	400	410	420
L	TACCCCTGGTC	ACCGGTTGCGA	GAGGTGGAGG	CGGTTCAGGC	GGAGGGCTCT	CTGGGGCTGG
B	T L V	T V S	R G G G	G S G	G G G	S G G G
	430	440	450	460	470	480
L	CGGATGCTCT	GAGCTGACTC	AGGACCCCTGC	TGTTGCTGTG	GCCTCTGGGAC	AGACAGTCAG
B	G S S	E L T	Q D P A	V S V	A L G	Q T V R
	490	500	510	520	530	540
L	GATCACATGC	CAAGGAGACA	GCCTCAGAAG	CTATATGCA	AGCTGGTACCC	AGCAGAAAGCC
B	I T C	Q G D	S L R S	Y Y A	S W Y	Q Q K P
	550	560	570	580	590	600
L	AGGACAGGCC	CCTGTACCTTG	TCATCTATGG	TAAAACAAC	CGGCCCTCAG	GGATCCCAGA
B	G Q A	P V L	V I Y G	K N N	R P S	G I P D
	610	620	630	640	650	660
L	CCGATTCTCT	GGCTCCAGCT	CAGGAAACAC	AGCTTCCTTG	ACCATCACTG	GGGCTCAGGC
B	R F S	G S S	S G N T	A S L	T I T	G A Q A
	670	680	690	700	710	720
L	GGAAGATGAG	GCTGACTATT	ACTGTAACTC	CGGGGACAGC	AGTGGTAACC	ATGAGGTATT
B	E D E	A D Y	Y C N S	R D S	S G N	H V V F
	730	740	750	760	770	780
L	CGGGGGAGGG	ACCAAGCTGA	CGTCCTAGG	TGGGGCCGCA	GAACAAAAAC	TCATCTCAGA
B	G G G	T K L	T V L G	A A A	E Q K	L I S E
	790	800	810	820	830	840
L	AGAGGATCTG	AatGGGGCG	CACTGACTG	TTGAATTTT	TAAGTTAAC	T
B	E D L	N G A	A * N C	* I F	* V N	

Y16 SEQ ID NO: 210



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## FIG. 51

### Sequence of Y1-Biotag (SEQ ID NO: 211)

1 MEVQLVESGG GVVPGGSLR LSCAASGFTF DDYGMSWVRQ  
41 APGKGLEWVS GINWNGGSTG YADSVKGRFT ISRDNAKNSL  
81 YLQMNSLRAE DTAVYYCARM RAPVJWGQGT LTVSRGGGG  
121 SGGGGSGGGG SSELTQDPAV SVALGQTVRI TCQGDSLRSY  
161 YASWYQQKPG QAPVLVIYGK NNRPSGIPDR FSGSSSGNTA  
201 SLTITGAQAE DEADYYCNSR DSSGNVVFG GGTKLTVLGG  
241 GGLNDIFEAQ KIEWHE

## **FIG. 52**

Y1-cys-kak scFv (SEQ ID NO. 212)

1 MEVQLVESGG GVVRPGGSLR LSCAASGFTF DDYGMSWVRQ  
APGKGLEWVS GINWNGGSTG 60

61 YADSVKGRFT ISRDNAKNSL YLQMNSLRAE DTAVYYCARM  
RAPVIWGQGT LVTVSRGGGG 120

121 SGGGGSGGGG SSELTQDPAV SVALGQTVRI TCQGDSLRSY  
YASWYQQKPG QAPVLVIYGK 180

181 NNRPSGIPDR FSGSSSGNTA SLTITGAQAE DEADYYCNSR  
DSSGNHVVFV GGTKLTVLGG 240

241 GGCKAK